	(FILE	'HOME' ENTERED AT 10:30:19 ON 06 JUN 2000)
	FILE	'STNGUIDE' ENTERED AT 10:30:35 ON 06 JUN 2000
L1	FILE	'REGISTRY' ENTERED AT 10:32:56 ON 06 JUN 2000 1 S OLANZAPINE/CN
L2	FILE	'CAPLUS' ENTERED AT 10:34:06 ON 06 JUN 2000 299 S L1
L3 L4 L5	FILE	'REGISTRY' ENTERED AT 10:35:14 ON 06 JUN 2000 0 S PAMOATE DIMETHANOLATE/CN 0 S PAMOATE/CN 0 S PAMOATE MONOHYDRATE/CN
	FILE	'CAPLUS' ENTERED AT 10:37:39 ON 06 JUN 2000 S PAMOATE DIMETHANOLATE/CN
L6	FILE	'REGISTRY' ENTERED AT 10:39:03 ON 06 JUN 2000 0 S PAMOATE DIMETHANOLATE/CN
	FILE	'CAPLUS' ENTERED AT 10:39:11 ON 06 JUN 2000
L7 L8	FILE	'CAPLUS' ENTERED AT 10:39:30 ON 06 JUN 2000 351 S PAMOATE 2 S L2 AND L7 SELECT RN L8 1
L9	FILE	'REGISTRY' ENTERED AT 10:41:29 ON 06 JUN 2000 16 S E1-16
L10	FILE	'CAPLUS' ENTERED AT 10:42:00 ON 06 JUN 2000 2 S L9 AND L8
L11 L12 L13 L14 L15	FILE	'REGISTRY' ENTERED AT 10:49:15 ON 06 JUN 2000 1 S 221373-09-7/RN 1 S 221373-12-2/RN 1 S 221373-14-4/RN 1 S 221373-18-8/RN 1 S 263017-43-2/RN 1 S 263017-44-3/RN
L17 L18 L19 L20 L21 L22 L23 L24	FILE	'CAPLUS' ENTERED AT 10:52:47 ON 06 JUN 2000 2 S L11-L16 2 S L10 AND L17 91 S PHARMACEUTICAL SALT 0 S L2 AND L19 73 S L2 AND PATENT/DT 226 S L2 NOT L21 133 S PD>1997 AND L22 93 S L22 NOT L23
<b>L2</b> 5	FILE	'REGISTRY' ENTERED AT 10:59:15 ON 06 JUN 2000 1 S 130-85-8/RN

FILE 'CAPLUS' ENTERED AT 10:59:48 ON 06 JUN 2000

FILE 'REGISTRY' ENTERED AT 11:00:44 ON 06 JUN 2000

FILE 'CAPLUS' ENTERED AT 11:00:45 ON 06 JUN 2000 S 130-85-8/CRN

FILE 'REGISTRY' ENTERED AT 11:00:58 ON 06 JUN 2000 L27 598 S 130-85-8/CRN

FILE 'CAPLUS' ENTERED AT 11:01:01 ON 06 JUN 2000 L28 524 S L27

=> s 12 and 128

L29 2 L2 AND L28

=> d bib abs hitstr 131 1-5

```
ANSWER 1 OF 5 CAPLUS COPYRIGHT 2000 ACS
     2000:227510 CAPLUS
ΑN
DN
     132:256034
TI
     2-Methylthienobenzodiazepine formulation
TN
     Bunnell, Charles Arthur; Ferguson, Thomas Harry; Hendriksen, Barry
Arnold;
     Sanchez-Felix, Manuel Vicente; Tupper, David Edward
     Eli Lilly and Company, USA
PA
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DT
     Patent
LА
     English
FAN.CNT 1
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND DATE
     WO 2000018408
                            20000406
                                           WO 1999-US6417
                      A1
                                                             19990324
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-163768
                      19980930
     US 1998-163769
                      19980930
AB
     The invention provides a pharmaceutically acceptable oleaginous or
     cholesterol microsphere formulation of olanzapine or olanzapine pamoate
or
                Thus, olanzapine was prepd. and mixed with cholesterol in
     solvates.
     methylene chloride. An aq. soln. of PVA was added to the above soln. and
     the mixt. was passed through 100- and 230-mesh sieves, and the particles
     thus obtained were allowed to dry.
IT
     205485-16-1P 221373-09-7P 221373-12-2P
     221373-14-4P 221373-18-8P 263017-43-2P
     263017-44-3P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (methylthienobenzodiazepine formulations)
RN
     205485-16-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
CN
2-methyl-4-(4-methyl-1-piperazinyl)-
     , dihydrate (9CI) (CA INDEX NAME)
```

## ●2 H<sub>2</sub>O

RN 221373-09-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 221373-12-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with methanol and 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

CRN 67-56-1 CMF C H4 O

нзс-он

RN 221373-14-4 CAPLUS

2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and tetrahydrofuran (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

CM 3

CRN 109-99-9 CMF C4 H8 O



RN 221373-18-8 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 263017-43-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine

and 2-propanone (1:2:2) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

см з

CRN 67-64-1 CMF C3 H6 O

RN 263017-44-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2), dihydrate (9CI) (CA INDEX NAME)

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

RE.CNT 1

(1) Cygnus Inc; WO 9709985 A1 1997 CAPLUS

AN 1999:752863 CAPLUS COPYRIGHT 2000 ACS

DN 131:346550

TI Atypical antipsychotic agent-serotonin reuptake inhibitor combinations for

therapy of refractory depression

IN Tollefson, Gary Dennis

PA Eli Lilly and Co., USA

SO Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

```
ΡI
     EP 958824
                       A2
                            19991124
                                           EP 1999-303969
                                                             19990521
     EP 958824
                       A3
                            19991201
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     WO 9961027
                       Α1
                            19991202
                                           WO 1999-US11276 19990521
             AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU,
             SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA,
             ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM,
             GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-86444
                      19980522
     Methods and compns. are provided for the treatment of depressive states
     refractory to treatment with traditional antidepressive therapies alone.
     These methods and compns. employ a compd. having activity as an atypical
     antipsychotic (e.g. olanzapine) and a serotonin reuptake inhibitor (e.g.
     fluoxetine). This invention also provides methods of providing rapid
     onset treatments of major depression which employing a compd. having
     activity as an atypical antipsychotic and a serotonin reuptake inhibitor.
     250603-12-4 250603-17-9 250603-18-0
     RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
     effector, except adverse); THU (Therapeutic use); BIOL (Biological
study);
     USES (Uses)
        (atypical antipsychotic agent-serotonin reuptake inhibitor
combinations
        for therapy of refractory depression)
RN
     250603-12-4 CAPLUS
CN
     Benzenepropanamine, N-methyl-.gamma.-[4-(trifluoromethyl)phenoxy]-,
     hydrochloride, mixt. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-
     thieno[2,3-b][1,5]benzodiazepine (9CI) (CA INDEX NAME)
     CM
          1
     CRN
         132539-06-1
     CMF
         C17 H20 N4 S
```

CRN 56296-78-7

CMF C17 H18 F3 N O . C1 H

$$\begin{array}{c|c} & \text{Ph} & \\ & \downarrow & \\ \text{MeNH-CH}_2-\text{CH}_2-\text{CH-O} & \end{array}$$

### HCl

RN 250603-17-9 CAPLUS

CN 1-Naphthalenamine, 4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-N-methyl-, (1S,4S)-, mixt. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 79617-96-2 CMF C17 H17 C12 N

Absolute stereochemistry. Rotation (+).

09/163,769

RN 250603-18-0 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl), mixt. with (3s,4R)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1

CM 2
CRN 61869-08-7
CMF C19 H20 F N O3

CMF C17 H20 N4 S

Absolute stereochemistry. Rotation (-).

```
ANSWER 3 OF 5 CAPLUS COPYRIGHT 2000 ACS
L31
    1999:233762
                 CAPLUS
ΑN
```

130:257362 DN

ΤI Methylthienobenzodiazepine derivative antipsychotic drug formulation.

Allen, Douglas James; Dekemper, Kurt Douglas; Ferguson, Thomas Harry; IN Garvin, Stuart James; Murray, Linda Cameron; Brooks, Norman Dale; Bunnell,

Charles Arthur; Hendriksen, Barry Arnold; Mascarenhas, Snehlata Singh; Shinkle, Sharon Louise; Sanchez-Felix, Manuel Vicente; Tupper, David Edward

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DTPatent

LА English

FAN.CNT 1

LIM4.	PATENT NO.				KIND DATE						DDT.T	Слтт	ON N	^	DATE					
	EA.	LDIAT	140.		IX.	IVD	DAIE				ЕЕПІ	CALL	O14 14	<b>O</b> .	DATE					
ΡI	WO	9916313			A1 19990408					W	 0 19	 98-U	5204	 26	19980930					
		W:	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,		
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	ΚE,		
			KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,		
			MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,		
			TT,	UA,	UG,	US,	UΖ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,		
TM																				
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,		
			FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,		
			CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG								
	ΑU	9895	914		Α	1	1999	0423		A	U 19	98-9	5914		1998	0930				
PRAI	US	1997	-604	93	19	9709	30													
	WO	1998	-US2	0426	19	9809	30													
AB	The	e inv	enti	on p	rovi	des	a ph	arma	ceut:	ical	lv a	ccep.	tabl	e ol	eagi	nous	or			

cholesterol microsphere formulation of

2-methyl-4-(4-methyl-1-piperazinyl)-

10H-thieno[2.3-b][1.5]benzodiazepine (olanzapine) (prepn. given) or olanzapine pamoate or solvates thereof. The invention further provides novel olanzapine pamoate salts or solvates thereof.

IT 221373-09-7P 221373-12-2P 221373-14-4P 221373-18-8P 221373-22-4P 221373-25-7P 221373-29-1P

> RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and formulation of)

221373-09-7 CAPLUS RN

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 130-85-8 CMF C23 H16 O6

RN 221373-12-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with methanol and 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

CM 3

CRN 67-56-1 CMF C H4 O

## нзс-он

RN 221373-14-4 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and tetrahydrofuran (1:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

см 3

CRN 109-99-9 CMF C4 H8 O

# $\bigcirc$

RN 221373-18-8 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

RN 221373-22-4 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine and 2-propanone (1:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

CM 3

CRN 67-64-1 CMF C3 H6 O

RN 221373-25-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:2), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

RN 221373-29-1 CAPLUS

CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1), dihydrate (9CI) (CA INDEX NAME)

CM 1

CRN 130-85-8 CMF C23 H16 O6

RE.CNT 2

- (1) Beasley Jr; US 5602897 A 1997
- (2) Chakrabarti; US 5229382 A 1993

L31 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2000 ACS

AN 1998:204464 CAPLUS

DN 128:275100

TI Intermediates and process for preparing olanzapine

IN Bunnell, Charles Arthur; Larsen, Samuel Dean; Nichols, John Richard; Reutzel, Susan Marie; Stephenson, Gregory Alan

PA Eli Lilly and Co., USA

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN CNT 1

PAN.	CMI	7																
	PATENT NO.					ND	DATE			A	PPLI	CATI	ON N	0.	DATE			
ΡI	ΕP	8310	98		A:	2	1998	0325		E	P 19	97-3	0738	3	1997	0922		
	EP 831098			A	3	1998	0429											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										
	WO	9812	199		A.	1	1998	0326		W	0 19	97-U	S164	99	1997	0918		

```
AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
              HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
               ML, MR, NE, SN, TD, TG
     AU 9744841
                          A1
                                19980414
                                                 AU 1997-44841
                                                                     19970918
     BR 9712100
                          Α
                                19990831
                                                 BR 1997-12100
                                                                     19970918
     CN 1234802
                          Α
                                19991110
                                                 CN 1997-198137
                                                                     19970918
                                                                     19970923
     US 6020487
                          Α
                                20000201
                                                 US 1997-935884
     NO 9901382
                          Α
                                19990322
                                                 NO 1999-1382
                                                                     19990322
                         19960923
PRAI US 1996-26487
     WO 1997-US16499 19970918
AB
     The present invention provides a process for prepg. olanzapine and
     dihydrate polymorphs. Olanzapine was prepd. from a known intermediate
and
     later converted to its dihydrate. The x-ray powder anal. of the compd.
     was carried out.
IT
     205485-16-1P
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (intermediates and process for prepg. olanzapine)
RN
     205485-16-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     , dihydrate (9CI) (CA INDEX NAME)
```

### ●2 H<sub>2</sub>O

L31 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2000 ACS ΑN 1996:656468 CAPLUS DN 125:301028 ΤI Preparation of olanzapine solvates Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Hotten, Terrence Michael; Larsen, Samuel Dean; Tupper, David Edward PA Lilly, Eli, and Co., USA; Lilly Industries Ltd. Eur. Pat. Appl., 16 pp. SO CODEN: EPXXDW DTPatent

```
LΑ
     English
FAN.CNT 3
     PATENT NO.
                       KIND
                              DATE
                                              APPLICATION NO. DATE
                       ----
ΡI
     EP 733634
                        A1
                              19960925
                                              EP 1996-301999
                                                                 19960322
         R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
     US 5631250
                        Α
                              19970520
                                              US 1995-410474
                                                                 19950324
     US 5703232
                        Α
                              19971230
                                              US 1996-586431
                                                                 19960116
     WO 9630374
                        A1
                              19961003
                                              WO 1996-US3854
                                                                 19960322
             AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
              SG, SI
         RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
              NE, SN, TD, TG
     AU 9652578
                              19961016
                                              AU 1996-52578
                                                                 19960322
                        A1
     AU 9654279
                              19961016
                                              AU 1996-54279
                        Α1
                                                                 19960322
     AU 706471
                        B2
                              19990617
     GB 2313835
                        A1
                              19971210
                                              GB 1997-19819
                                                                 19960322
     GB 2313835
                        B2
                              19980916
     DE 19681286
                        Т
                              19980402
                                              DE 1996-19681286 19960322
     BR 9607790
                        A
                              19980707
                                              BR 1996-7790
                                                                19960322
     JP 11502535
                        T2
                              19990302
                                              JP 1996-529532
                                                                 19960322
     AT 9609021
                        Α
                              20000115
                                              AT 1996-9021
                                                                 19960322
     SE 9703205
                        Α
                              19970905
                                              SE 1997-3205
                                                                 19970905
     FI 9703750
                        Α
                              19970922
                                              FI 1997-3750
                                                                 19970922
                        Α
     NO 9704365
                              19970922
                                              NO 1997-4365
                                                                 19970922
                                              DK 1997-1089
     DK 9701089
                        Α
                              19971112
                                                                 19970923
PRAI US 1995-409566
                       19950324
     US 1995-410474
                       19950324
     WO 1996-US3854
                       19960322
     WO 1996-US3917
                       19960322
AB
     The invention provides MeOH, EtOH, and PrOH solvates of olanzapine with
     improved properties characterized by x-ray spectra.
IT
     182808-49-7P 182808-50-0P 182808-51-1P
     RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
         (prepn. of olanzapine solvates)
     182808-49-7 CAPLUS
RN
CN
     Methanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-
     b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)
     CM
          1
```

CRN 132539-06-1

CMF C17 H20 N4 S

CRN 67-56-1 CMF C H4 O

нзс-он

RN 182808-50-0 CAPLUS

CN Ethanol, compd. with 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 64-17-5 CMF C2 H6 O  $_{\rm H_3C^-\,CH_2^-\,OH}$ 

RN 182808-51-1 CAPLUS
CN 1-Propanol, compd. with
2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 132539-06-1 CMF C17 H20 N4 S

CM 2

CRN 71-23-8 CMF C3 H8 O

 $_{\rm H3C-CH_2-CH_2-OH}$ 

=> d bib abs hitstr 121 1-73

L21 ANSWER 1 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 2000:284006 CAPLUS

DN 132:274341

 ${\tt TI}$  Methods of treating tardive dyskinesia and other movement disorders using NMDA receptor antagonists

IN Fogel, Barry S.

PA Synchroneuron, LLC, USA

SO U.S., 16 pp., Cont.-in-part of U.S. 5,866,585. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

PATENT NO. KIND DATE APPLICATION NO. DATE

```
PΙ
     US 6057373
                             20000502
                       Α
                                            US 1999-224829
                                                              19990104
     US 5866585
                             19990202
                                            US 1997-861801
                                                              19970522
                       Α
     WO 9936064
                             19990722
                                            WO 1999-US144
                       A2
                                                              19990113
     WO 9936064
                       A3
                             19991202
         W: AU, CA, CH, CN, JP, MX, NZ
         RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE
     AU 9921041
                             19990802
                                            AU 1999-21041
                                                              19990113
                       Α1
PRAI US 1997-861801
                      19970522
     US 1998-6641
                      19980113
     US 1998-193892
                      19981118
     US 1999-224829
                      19990104
     WO 1999-US144
                      19990113
```

AB The invention describes a treatment for movement disorders, including tardive dyskinesia and tardive dystonia, and focal dystonias not due to neuroleptics, including blepharospasm, Meige syndrome, and occupational dystonias. The treatment of the invention uses agents that act as NMDA-type glutamate receptor antagonists. The invention also involves the

use of an ion channel-blocking agent to augment the therapeutic action of the drug treatments described. A particularly preferred ion channel-blocking agent is magnesium.

IT **132539-06-1**, Olanzapine

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study);

USES (Uses)

(NMDA receptor antagonist for treatment of tardive dyskinesia or other movement disorder)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 107

- (1) Alexander; Brit F Psychiat 1978, V133, P143 CAPLUS
- (3) Andreassen; Pharmacology Biochemistry and Behavior 1994, V49, P309 CAPLUS
- (6) Athanassenas; Journal of Clinical Psychopharmacology 1983, V3, P212 CAPLUS
- (11) Britton; Life Sciences 1997, V60, P1729 CAPLUS
- (22) Delfs; Exp Neurol 1995, V133, P175 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 73 CAPLUS COPYRIGHT 2000 ACS

09/163,769 Page 24

```
2000:277835 CAPLUS
ΑN
DN
     132:298845
ΤI
     Therapy for improving cognition
     De Nijs, Paul Leonce Irma; Parys, Wim Louis Julien
IN
PA
     Janssen Pharmaceutica N.V., Belg.
SO
     PCT Int. Appl., 7 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     WO 2000023057
                      A2
                            20000427
PΙ
                                           WO 1999-EP7804 19991012
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI EP 1998-203454
                      19981016
     The present invention is concerned with pharmaceutical compns. comprising
     a carrier and as first active ingredient an atypical antipsychotic agent
     (I) and as second active ingredient an acetylcholinesterase inhibitor
     (II), each in an amt. producing a therapeutically beneficial effect in
     patients suffering from psychosis, or Alzheimer's disease or related
     dementias. The therapeutically beneficial effect can be a synergistic
     effect on the cognitive functioning of patients suffering from
Alzheimer's
     disease or related dementias or the prevention of the further
     deterioration of cognition in the patients, or the redn. of adverse
     effects assocd. with one of the active ingredients by the other of the
     active ingredients. Preferred compns. comprise risperidone as the
     atypical antipsychotic and galantamine as the acetylcholinesterase
     inhibitor.
TΤ
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (therapeutics for improving cognition contg. antipsychotic agent and
        acetylcholinesterase inhibitor)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

09/163,769

```
ANSWER 3 OF 73 CAPLUS COPYRIGHT 2000 ACS
```

2000:260507 CAPLUS

132:277760 DN

TI Molecular markers for determining a patient's risk of developing agranulocytosis and the development of drugs not inducing the disease

IN Lee, John; Kauffman, Michael

Millennium Predictive Medicine, Inc., USA PA

SO PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DT Patent

LΑ English

FAN.CNT 1

	PATENT	NO.		KIND DATE				A	PPLI	CATI	ο.	DATE					
								_									
PI	WO 2000022109			A1 20000420				W	0 19	99-U	38	19991013					
	W:	W: AE, AL,			ΑT,	AU,	ΑZ,	ВA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	НU,	ID,	IL,
		IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	TZ,	υG,	ZW,	AT,	BE,	CH,	CY,	DE,
		DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG				

PRAI US 1998-PV104100 19981013

The invention features methods for detg. whether a patient is likely to develop agranulocytosis, for example, as a result of treatment with pharmaceutical agents that adversely affect leukocytes or their progenitors in the bone marrow. Further, it encompasses methods for screening compds. to find those useful in treating or preventing agranulocytosis, as well as methods for treating a patient who is at risk of developing, or who has developed, agranulocytosis. The invention is based, in part, on the identification of differentially expressed genes, i.e., genes that are either overexpressed or underexpressed in bone

marrow

cells treated with clozapine, the expression being relative to that in untreated bone marrow cells or in bone marrow cells that have been

with a compd. that does not alter expression of the differentially expressed genes of the invention (i.e., olanzapine).

IT **132539-06-1**, Olanzapine

RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses) (mol. markers for detg. patient's risk of developing agranulocytosis and development of drugs not inducing disease) 132539-06-1 CAPLUS RN 10H-Thieno[2,3-b][1,5]benzodiazepine, CN 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

### RE.CNT 5

- (1) Corzo; Blood 1995, V86(10), P3835 CAPLUS
- (2) Dong; Mutations in the granulocyte colony-stimulating factor receptor gene in patients with severe congenital neutropenia 1997, V11(1), P120 CAPLUS
- (3) Rane; Biochimica et Biophysica Acta 1996, V1291, P60 CAPLUS
- (4) Ritter; Biological Psychiatry 1997, V42(3), P155 CAPLUS
- (5) Turbay; Blook 1997, V89(11), P4167 CAPLUS
- ANSWER 4 OF 73 CAPLUS COPYRIGHT 2000 ACS AN. 2000:260000 CAPLUS
- 132:288772 DN
- ΤI Use of metformin to counteract weight gain associated with valproate and other psychotropic medications
- IN Cottingham, Elizabeth Marie
- Children's Hospital Research Foundation, USA; Morrison, John Ainslie PA
- so PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DT Patent

LΑ English

FAN.	CNT 1	l																
	PATE	ENT :	NO.		KIND DAT			E APPLICATION NO. DATE										
									_									
ΡI	WO 2000021522			22	A1 20000420			WO 1999-US24262 19991										
		W:	Æ,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
			CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
			IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
			MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
			sĸ,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
			ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM								
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
			DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
			CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
PRAI	US 1	L998	-PV1	0439	4 1	9981	015											
	US 1	L999	-416	330	19	9910	12											

A method for minimizing the wt. gain side effect assocd. with psychotropic

treatment is disclosed. In the method, Metformin, a biguanide compd., is concurrently administered to a patient taking the psychotropic active. A pharmaceutical compn. contg. the combination of psychotropic active and Metformin is also disclosed. Psychotropic actives are selected from valproate, Risperdal, Lithobid, Zyprexa and Seroquel.

IT **132539-06-1**, Zyprexa

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study);

USES (Uses)

(metformin to counteract wt. gain assocd. with valproate and other psychotropic medications)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 8

(1) Abdallah, O; S T P PHARMA 1988, V4(1), P15 CAPLUS

(3) Karttunen, P; INTERNATIONAL JOURNAL OF CLINICAL PHARMACOLOGY, THERAPY, AND TOXICOLOGY 1983, V21(1), P31 CAPLUS

(6) Paolisso, G; EUROPEAN JOURNAL OF CLINICAL INVESTIGATION 1998, V28(6), P441 CAPLUS

(7) Pedersen, J; ACTA ENDOCRINOLOGICA 1968, V57(4), P683 CAPLUS

(8) Pentikainen, P; INTERNATIONAL JOURNAL OF CLINICAL PHARMACOLOGY, THERAPY, AND TOXICOLOGY 1986, V24(4), P213 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

hanswer 5 of 73 caplus copyright 2000 acs

AN 2000:241564 CAPLUS

DN 132:288780

TI Methods of identifying inverse agonists of the serotonin 2a receptor, therapeutic and diagnostic methods, and test kit

IN Weiner, David; Brann, Mark R.

PA Acadia Pharmaceuticals Inc., USA

SO PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

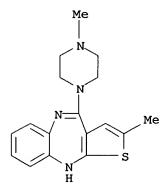
PΤ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020636	A1	20000413	WO 1999-US21439	19991007

09/163,769

Page 28

AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRAI US 1998-PV103317 19981007 US 1999-413626 19991006 A method for identifying compds. which act as inverse agonists of the 5-HT2A receptor comprises contacting a constitutively active 5-HT2A receptor with at least one test compd. and detg. any decrease in the level of basal activity of the receptor. The inverse agonists may be used in the treatment of schizophrenia and related psychoses. IT 132539-06-1, Olanzapine RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (serotonin 2a receptor inverse agonist identification, therapeutic and diagnostic methods, and test kit) RN 132539-06-1 CAPLUS 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)



RE.CNT 7

- (1) Eggerickx, D; BIOCHEMICAL JOURNAL 1995, V309, P837 CAPLUS
- (2) Grotewiel, M; FASEB JOURNAL, abstract 353 1994, V8(7), PA1319
- (3) Herrick, D; WO 9838217 A 1998
- (4) Inst Of Psychiatry; WO 9617081 A 1996
- (6) Shenker, A; NATURE 1993, V365, P652 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 6 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 2000:227510 CAPLUS

DN 132:256034

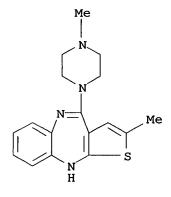
TI 2-Methylthienobenzodiazepine formulation

IN Bunnell, Charles Arthur; Ferguson, Thomas Harry; Hendriksen, Barry Arnold;

Sanchez-Felix, Manuel Vicente; Tupper, David Edward

PA Eli Lilly and Company, USA

```
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
DΤ
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
                                         WO 1999-US6417 19990324
ΡI
     WO 2000018408
                      A1
                            20000406
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-163768
                      19980930
     US 1998-163769
                      19980930
     The invention provides a pharmaceutically acceptable oleaginous or
AB
     cholesterol microsphere formulation of olanzapine or olanzapine pamoate
or
     solvates. Thus, olanzapine was prepd. and mixed with cholesterol in
     methylene chloride. An aq. soln. of PVA was added to the above soln. and
     the mixt. was passed through 100- and 230-mesh sieves, and the particles
     thus obtained were allowed to dry.
ΙT
     132539-06-1P, Olanzapine
     RL: BPR (Biological process); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC
     (Process); USES (Uses)
        (methylthienobenzodiazepine formulations)
RN
     132539-06-1 CAPLUS
CN -
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI)
           (CA INDEX NAME)
```



RE.CNT 1

(1) Cygnus Inc; WO 9709985 A1 1997 CAPLUS

121 ANSWER 7 OF 73 CAPLUS COPYRIGHT 2000 ACS AN 1999:783941 CAPLUS DN 132:9033

TI Combination therapy of atypical antipsychotics and serotonin reuptake

```
inhibitors for treatment of bipolar disorders
      Tollefson, Gary Dennis
IN
PA
      Eli Lilly and Company, USA
SO
      PCT Int. Appl., 37 pp.
      CODEN: PIXXD2
DT
      Patent
LΑ
      English
FAN.CNT 1
      PATENT NO.
                      KIND DATE
                                                  APPLICATION NO. DATE
      WO 9962522
                                 19991209
                                                  WO 1999-US11314 19990521
PΙ
                          A1
          W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      AU 9940088
                                                 AU 1999-40088
                           Α1
                                 19991220
                                                                       19990521
      EP 966967
                           A2
                                 19991229
                                                   EP 1999-303968
                                                                       19990521
      EP 966967
                           A3
                                 20000531
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
PRAI US 1998-PV87126 19980529
      US 1998-87126
                          19980529
      WO 1999-US11314 19990521
AB
      The invention provides methods and compns. for the treatment of bipolar
      disorder, bipolar depression or unipolar depression, all with or without
      psychotic features. This method employs a compd. having activity as an
      atypical antipsychotic in combination with an effective amt. of a second
      compd. selected from the group consisting of a serotonin reuptake
      inhibitor, an anticonvulsant and lithium. Pharmaceutical formulations of
      combination of drugs of the invention are presented. E.g., hard gelatin
      capsules were prepd. contg. olanzapine 25 mg, fluoxetine-HCl 20 mg,
starch
      150 mg, and Mg stearate 10 mg. In a double blind trial in patients
      diagnosed with treatment-resistant major depression, the administration
of
      fluoxetine plus olanzapine (20-60 mg/day and 5-20 mg/day, resp.) resulted
      in a greater improvement on the HAMD-21 score than either of the
      monotherapy.
IT
      132539-06-1, Olanzapine
      RL: BAC (Biological activity or effector, except adverse); PRP
      (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
          (combination therapy for treatment of bipolar disorders)
RN
      132539-06-1 CAPLUS
      10H-Thieno[2,3-b][1,5]benzodiazepine,
CN
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

Me

```
Me
RE.CNT
       10
(1) Anon; Chem abstr P1998 CAPLUS
(2) Anon; Chem abstr 1982 CAPLUS
(3) Anon; Chem abstr 1985 CAPLUS
(4) Anon; Chem abstr 1997 CAPLUS
(5) Anon; Chem abstr 1997 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 8 OF 73 CAPLUS COPYRIGHT 2000 ACS
AN.
     1999:763863 CAPLUS
DN
     132:6368
TΙ
     Compositions and methods employing R(-)fluoxetine and other active
     ingredients
IN
     Barberich, Timothy J.; Rubin, Paul D.; Handley, Dean A.
PΑ
     Sepracor Inc., USA
SO
     PCT Int. Appl., 41 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
                                           APPLICATION NO.
     PATENT NO.
                      KIND DATE
PΙ
     WO 9961014
                      A2
                            19991202
                                           WO 1999-US11725 19990527
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                      19980528
PRAI US 1998-86262
     Pharmaceutical compns. which comprise R(-) fluoxetine and one or more
AΒ
     other biol. active compds. e.g. a benzodiazepine compd., a tricyclic
     antidepressant, a 5-HT1A receptor antagonist, a 5-HT3 receptor agonist, a
     .beta.-adrenergic antagonist, an antipsychotic agent, an anti-anxiolytic
     or other psychotropic drug, are disclosed. Methods of treating or
     preventing a disease or disorder, esp. a psychotic or psychiatric disease
     or disorder, using the above pharmaceutical compn. or by administering a
```

R(-)fluoxetine in combination with one or more other biol. active compds. are also disclosed. Methods of treating patients having or at risk of having AIDS or HIV infection, cancer, cardiac disorder, post-myocardial

depression and post-traumatic stress disorder using optically pure R(-)fluoxetine in combination with one or more other biol. active compds. are further disclosed.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (psychotropic compns. contg. R(-)fluoxetine and other active compds.)

RN 132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

ANSWER 9 OF 73 CAPLUS COPYRIGHT 2000 ACS

1999:753081 CAPLUS

DN 131:346552

Combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor ΤI for treatment of depression

IN Michelson, David; Tollefson, Gary Dennis

PA Eli Lilly and Company, USA

PCT Int. Appl., 25 pp. SO

CODEN: PIXXD2

 $\mathbf{DT}$ Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_\_ 19991125 WO 1999-US10092 19990510 ΡI WO 9959593 A1 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG PRAI US 1998-86268 19980521

The present invention provides a method for treating a patient suffering from depression, comprising administering to said patient an effective amt. of a first component which is a 5-HT3 receptor antagonist, in combination with an effective amt. of a second component which is a serotonin reuptake inhibitor wherein improvement in sexual dysfunction and/or redn. in gastrointestinal side effects is recognized. Various

formulations were prepd. E.g., a tablet was prepd. using zatosetron 10, fluoxetine HCl 10, microcryst. cellulose 275, fumed silica 10, and stearic

acid 5 mg, resp.

132539-06-1, Olanzapine

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study);

USES (Uses)

(combination of 5-HT3 receptor antagonist and serotonin reuptake inhibitor for treatment of depression with reduced side effects)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

### RE.CNT

- (1) Anon; The Merck Index 11th Edition 1989, P655
- (2) Devane, C; American Journal of Psychiatry 1997, V154(9), P1317 MEDLINE
- (4) Miller, D; Neuropsychopharmacology 1997, V17(4), P230 CAPLUS
  (5) Miyata, K; Pharmacologica Japonica 1994, V104(3), P143 CAPLUS
- (7) Weisler, R; Annals of Clinical Psychiatry 1997, V9(4), P259 MEDLINE

ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 73 CAPLUS COPYRIGHT 2000 ACS

1999:752863 CAPLUS

131:346550 DN

TI Atypical antipsychotic agent-serotonin reuptake inhibitor combinations for

therapy of refractory depression

IN Tollefson, Gary Dennis

PΑ Eli Lilly and Co., USA

Eur. Pat. Appl., 15 pp. SO

CODEN: EPXXDW

DT**Patent** 

LA English

FAN.CNT 1

	PAT	CENT	NO.		KIND DATE			Α	PPLI	CATI	ON N	ο.	DATE						
										_									
PI	EP 958824			A	2	19991124			E	P 19	99-3	0396	9	19990521					
	EP 958824			A.	3	19991201													
	R: AT, BE,		CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,			
			ΙE,	SI,	LT,	LV,	FI,	RO											

09/163,769

```
WO 1999-US11276 19990521
      WO 9961027
                                  19991202
                            A1
               AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRAI US 1998-86444
                           19980522
      Methods and compns. are provided for the treatment of depressive states
      refractory to treatment with traditional antidepressive therapies alone.
      These methods and compns. employ a compd. having activity as an atypical
      antipsychotic (e.g. olanzapine) and a serotonin reuptake inhibitor (e.g.
      fluoxetine). This invention also provides methods of providing rapid
      onset treatments of major depression which employing a compd. having
      activity as an atypical antipsychotic and a serotonin reuptake inhibitor.
IT
      132539-06-1P, Olanzapine
      RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or
      effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic
      use); BIOL (Biological study); PREP (Preparation); USES (Uses)
          (atypical antipsychotic agent-serotonin reuptake inhibitor
combinations
          for therapy of refractory depression)
RN
      132539-06-1 CAPLUS
CN
      10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

```
ANSWER 11 OF 73 CAPLUS COPYRIGHT 2000 ACS
    1999:672562 CAPLUS
DN
    131:281590
ΤI
    Methods for treating neuropsychiatric disorders
    Tsai, Guochuan; Coyle, Joseph
IN
PA
    The General Hospital Corporation, USA
SO
    PCT Int. Appl., 27 pp.
    CODEN: PIXXD2
    Patent
DT
    English
T.A
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                         APPLICATION NO.
    _____
                  A2
PT
    WO 9952519
                          19991021
                                         WO 1999-US8056
                                                         19990414
```

```
WO 9952519
                           A3
                                 19991202
               AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      AU 9935571
                                                  AU 1999-35571
                          A1
                                 19991101
PRAI US 1998-PV81645
                          19980414
      WO 1999-US8056
                          19990414
      The invention provides methods for treating neuropsychiatric disorders
      such as schizophrenia, Alzheimer's Disease, autism, depression, benign
      forgetfulness, childhood learning disorders, close head injury, and
      attention deficit disorder. The methods entail administering to a
      with a neuropsychiatric disorder a pharmaceutical compn. contg. (i) a
      therapeutically effective amt. of D-alanine (or a modified form),
      that the compn. is substantially free of D-cycloserine, and/or (ii)
      D-serine (or a modified form), and/or (iii) 105 to 500 mg of
D-cycloserine
      (or a modified form), and/or (iv) N-methylglycine (or a modified form).
      Using double-blind conditions, patients were randomly assigned to receive
      placebo (fruit juice), D-serine 30, D-alanine 60-100, or N-methylglycine
      30 mg/kg/day once a day by mouth for 6 wk. Treatment with D-serine,
     D-alanine, or N-methylglycine improved the schizophrenic symptoms and
     cognitive deficit of the patients. Specifically, treatment with D-serine
     resulted in a 21% redn. of the neg. symptoms (on the SANS scale), and it
     resulted in a 17% redn. of the pos. symptoms. Treatment with D-alanine
     resulted in an 11% redn. of the neg. symptoms and a 12% redn. of the pos.
      symptoms. Reatment with N-methylglycine resulted in a 20% redn. of the
     neg. symptoms and a 15% redn. of the pos. symptoms. These redns. in the
     neg. and pos. symptoms represented clin. significant improvement.
IT
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); THU
      (Therapeutic use); BIOL (Biological study); USES (Uses)
          (methods for treating neuropsychiatric disorders)
     132539-06-1 CAPLUS
RN.
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

```
Me
     ANSWER 12 OF 73 CAPLUS COPYRIGHT 2000 ACS
     1999:659583 CAPLUS
DN
     131:269054
TΤ
     Pharmacological MRI (PHRMI)
IN
     Jenkins, Bruce G.; Mandeville, Joe B.; Cavagna, Friedrich M.
PΑ
     The General Hospital Corporation, USA; Bracco S.P.A.
SO
     PCT Int. Appl., 37 pp.
     CODEN: PIXXD2
DΤ
     Patent
     English
T.A
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                      ____
                      A1
     WO 9951994
                            19991014
                                           WO 1999-US7550 19990407
PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD,
             RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9934743
                           19991025
                                           AU 1999-34743
                       A1
                                                            19990407
PRAI US 1998-81048
                      19980408
     WO 1999-US7550
                      19990407
AB
     A method for Magnetic Resonance Imaging (MRI) of changes in
     neurotransmitter and neuroreceptor activity as a metabolic response to
     diagnostic challenge or therapeutic treatment in a patient with suspected
     or already diagnosed mental illnesses of psychiatric, neurodegenerative
or
     neurol. nature, comprising the steps of: a) administering to said patient
     a drug eliciting an MRI detectable hemodynamic response; b) administering
     to said patient an MRI contrast agent with high magnetic susceptibility
     and c) measuring, in a spatially and temporally resolved manner, relative
     Cerebral Blood Vol. (rCBV) changes assocd. to neuronal activation using
     T2- or T2*- weighted MRI scans at the equil. distribution of said
contrast
     agent.
IT
     132539-06-1, Olanzapine
```

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(MRI of changes in neurotransmitter and neuroreceptor activity in

09/163,769

Page 37

mental illnesses of psychiatric, neurodegenerative or neurol. nature)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)(9CI) (CA INDEX NAME)

RE.CNT 8

(1) Burdett, N; MAGNETIC RESONANCE IMAGING 1995, V13(4), P549 CAPLUS

(2) Ching, Y; MAGNETIC RESONANCE IN MEDICINE 1997, V38, P389

(5) Jones, R; NMR IN BIOMEDICINE 1997, V10, P59 MEDLINE

(6) Mandeville, J; MAGNETIC RESONANCE IN MEDICINE 1998, V39, P615 MEDLINE

(8) Silva, A; MAGNETIC RESONANCE IN MEDICINE 1995, V33, P209 MEDLINE

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 13 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1999:622281 CAPLUS

DN 131:237981

TI Treatment of presymptomatic Alzheimer's disease with NMDA antagonists to prevent neuronal degeneration

IN Olney, John W.; Farber, Nuri B.

PA Washington University, USA

SO U.S., 37 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

US 5958919 A 19990928 US 1996-710727 19960920

Methods for treating the very early (presymptomatic) stages of

disease are disclosed, wherein NMDA antagonist drugs are administered to protect NMDA receptors against neuronal damage. Since NMDA antagonists may cause a condition known as NMDA receptor hypofunction (NR/hypo) that triggers neurotoxic side effects, they may be co-administered with, or have inherent activity as, "safener" drugs to prevent toxic side effects. The patient's status must be monitored, so that any NMDA antagonist drugs can be discontinued if a condition of NR/hypo arises. Otherwise, the

**NMDA** 

ΡI

AΒ

antagonist drugs can worsen and accelerate the damage caused by the disease. Eliprodil and ibogaine had NMDA antagonist activity in the chick

retina assay. They also showed safener action mediated through sigma

receptors.

IT 132539-06-1, Olanzapine

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (potency ranking of, as safener drug; treatment of presymptomatic Alzheimer's disease with NMDA antagonists to prevent neuronal

degeneration)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 37

- (2) Beal, M; Ann Neurol 1992, V31, P119 CAPLUS
- (4) Bryson, H; Drugs and Aging 1997, V10, P234 CAPLUS
- (8) Ellison, G; Brain Research Reviews 1995, V20, P250 CAPLUS
- (9) Fonnum, F; Annals New York Acad Sci 1995, V757, P475 CAPLUS
- (12) Gong, C; Brain Res 1996, V741, P95 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 14 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1999:425470 CAPLUS

DN 131:78439

TI Oral formulations containing olanzapine

IN Cochran, George Randall; Morris, Tommy Clifford

PA Eli Lilly and Company, USA

SO U.S., 7 pp., Cont.-in-part of U.S. Ser. No. 410,465, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN CNT 2

FAN.	CNT 2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 5919485	Α	19990706	US 1996-716922	19960920
	WO 9629995	A1	19961003	WO 1996-US3918	19960322
	W: AL	, AM, AT, AU	J, AZ, BB, BG,	BR, BY, CA, CH, CN	, CZ, DE, DK, EE,
	ES	, FI, GB, GE	E, HU, IS, JP,	KE, KG, KP, KR, KZ	, LK, LR, LS, LT,
	LU	LV, MD, MG	, MK, MN, MW,	MX, NO, NZ, PL, PT	, RO, RU, SD, SE,
	SG	, SI			
	RW: KE	, LS, MW, SI	, SZ, UG, BF,	BJ, CF, CG, CI, CM	, GA, GN, ML, MR,
	NE	, SN, TD, TG	3		
	CA 2216372	AA	19961003	CA 1996-2216372	19960322
	AU 9654280	A1	19961016	AU 1996-54280	19960322

09/163,769 Page 39

ΑU	696601	B2	19980917			
GB	2313783	<b>A</b> 1	19971210	GB	1997-19817	19960322
GB	2313783	B2	19981118			
DE	19681287	T	19980319	DE	1996-19681287	19960322
CN	1179102	Α	19980415	CN	1996-192778	19960322
BR	9607791	A	19980707	BR	1996-7791	19960322
ΑT	9609022	Α	19990215	AT	1996-9022	19960322
AT	405606	В	19991025			
JΡ	11502848	Т2	19990309	JP	1996-529533	19960322
SE	9703206	Α	19970905	SE	1997-3206	19970905
LT	4350	В	19980525	LT	1997-149	19970916
FI	9703749	Α	19970922	FI	1997-3749	19970922
NO	9704363	Α	19971117	МО	1997-4363	19970922
DK	9701090	Α	19971112	DK	1997-1090	19970923
LV	11983	В	19980720	${f r}{f v}$	1997-199	19971014
US	1995-410465	19950	324			
TTO	1006 1102010	10000	220			

PRAI WO 1996-US3918 19960322

AΒ The invention provides a pharmaceutically acceptable solid oral formulation of olanzapine and a process for making such formulation. A preferred formulation of the invention is a solid oral formulation comprising 1-20 mg olanzapine, wherein such solid oral formulation is coated with hydroxypropyl Me cellulose. The coating provides a phys. stability and effectively prevents the undesired discoloration phenomenon in the formulation.

IT **132539-06-1P**, Olanzapine

> RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Form II polymorph; polymer-coated oral formulations contq.

olanzapine)

132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT

- (1) Anon; EP 582368 A1 1993
- (2) Chakrabarti; US 4115568 1978
- (3) Chakrabarti; US 5229382 1993
- (4) Greenwood; US 5457101 1995 CAPLUS

ANSWER 15 OF 73 CAPLUS COPYRIGHT 2000 ACS 1999:355752 CAPLUS DN 131:719

ΤI A covalent conjugate of clozapine with a fatty acid and its use for treating schizophrenia

IN Bradley, Matthews O.; Shashoua, Victor E.; Swindell, Charles S.; Webb, Nigel L.

PA Neuromedica, Inc., USA

so PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LΑ English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE WO 1998-US24412 19981116

PΙ WO 9926661

19990603 A1 W: AU, CA, JP

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

AU 9914115 19990615 AU 1999-14115 A119981116

PRAI US 1997-978541 19971126 WO 1998-US24412 19981116

AB The invention provides compns. that include conjugates of a fatty acid mol., preferably cis-docosahexaenoic acid, and clozapine. The conjugates are useful in treating psychol. disorders such as schizophrenia. Docosahexaenoic acid-clozapine (prepn. given) was at least six times longer-acting than clozapine against locomotor behavioral arousal in rats treated with R(-) apomorphine.

132539-06-1, Olanzapine IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical further contq.; clozapine conjugate with fatty acid

for

treating schizophrenia)

RN 132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

- (1) Marder, S; Journal of Clinical Psychiatry 1996, V57(Suppl 03), P9
- (2) Scotia Holdings PLC; EP 0599576 A 1994
- (3) Shashoua, V; US 4939174 A 1990
- (4) Stowell, M; WO 9817325 A 1998

ANSWER 16 OF 73 CAPLUS COPYRIGHT 2000 ACS 1999:344848 CAPLUS

09/163,769 Page 41

```
DN
     131:714
TI
     Therapeutic uses of triazolo-pyridazine derivatives
     Castro Pineiro, Jose Luis; Hefti, Franz Fridolin; Hill, Raymond George;
IN
     McKernan, Ruth; Tattersall, Frederick David; Whiting, Paul John
PA
     Merck Sharp & Dohme Limited, UK
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
     Patent
     English
T.A
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                            19990527
PΤ
     WO 9925353
                      A1
                                          WO 1998-GB3328 19981106
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
             MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
             TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     AU 9910415
                      A1
                            19990607
                                          AU 1999-10415
                                                            19981106
     US 6046196
                       Α
                            20000404
                                           US 1998-208291
                                                            19981209
                            20000516
                                           US 1998-209071
     US 6063783
                      A
                                                            19981210
                      19971113
PRAI GB 1997-23999
     GB 1997-26699
                      19971218
     GB 1997-26700
                      19971218
     GB 1997-26701
                      19971218
     GB 1997-26702
                      19971218
     GB 1998-1581
                      19980123
     WO 1998-GB3328
                      19981106
os
     MARPAT 131:714
     A class of substituted or 7,8-ring fused 1,2,4-triazolo[4,3-b]pyridazine
AB
     derivs., possessing an optionally substituted cycloalkyl, Ph or
     substituent at the 3-position and a substituted alkoxy moiety at the
     6-position, are selective ligands for GABAA receptors, in particular
     having high affinity for the .alpha.2 and/or .alpha.3 subunit thereof,
and
     are accordingly of benefit in the treatment and/or prevention of
     disorders including schizophrenia; neurodegeneration arising from
     ischemia; pain; emesis; and muscle spasm or spasticity, e.g. in
paraplegic
     patients.
IT
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (triazolo-pyridazine deriv. GABAA ligands and therapeutic use, alone
or
       with other compds.)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI)
           (CA INDEX NAME)
```

RE.CNT

- (1) Anon; S-TRIAZOLO(3,4-a) (5, 6, 7, 8) TETRAHYDROPHTHA LAZINES 1978, 5,
- (2) Delini-Stula, A; JOURNAL OF PSYCHIATRIC RESEARCH 1996, V30(4), P239 MEDLINE
- (4) Hadingham, K; MOLECULAR PHARMACOLOGY 1993, V43, P970 CAPLUS
- (5) Hall, E; JOURNAL OF CEREBRAL BLOOD FLOW AND METABOLISM 1997, V17(8), P875 CAPLUS
- (13) Tarzia, G; FARMACO EDIZIONE SCIENTIFICA 1988, V43(2), P189 CAPLUS ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 17 OF 73 CAPLUS COPYRIGHT 2000 ACS

Α

AN 1999:316595 CAPLUS

DN 130:320861

ΤI Use of 5HT-2A serotonin agonists to prevent adverse effects of NMDA receptor hypofunction

IN Olney, John W.; Farber, Nuri B.

PA Washington University, USA

SO U.S., 22 pp. CODEN: USXXAM

DT Patent

English LΑ

FAN.CNT 1

PATENT NO. DATE APPLICATION NO. KIND DATE 19990511 19960903 US 5902815 US 1996-709222

This invention relates to a new method for treating or preventing brain AB damage caused by NMDA receptor hypofunction (NR/hypo), using drugs such

as

ΡI

lisuride which stimulate (agonize) activity at the 5HT-2A class of serotonin receptors, but which do not cause hallucinations. Data disclosed herein indicate that stimulation of both 5HT-2A and 5HT-2C receptors causes hallucinations, while stimulation of 5HT-2A receptors

but

not 5HT-2C receptors does not. Accordingly, to be useful herein, non-hallucinatory 5HT-2A agonists should either (1) antagonize (suppress) activity at 5HT-2C receptors, or (2) have no significant effect on activity at 5HT-2C receptors. Selective non-hallucinatory 5HT-2A agonists

can be used in either of two treatment methods disclosed herein. One such

treatment comprises administering a 5HT-2A receptor agonist as a "safener drug" which accompanies an NMDA antagonist drug that is being used for a

09/163,769 Page 43

therapeutic purpose. Another method disclosed herein involves the use of a 5HT-2A agonist drug, by itself, to combat a naturally-occurring form of NMDA receptor hypofunction which occurs in people suffering from schizophrenia. Although 5HT-2A agonists would not be optimally effective in treating long-standing cases of chronic schizophrenia, where pathol. changes in the brain have already reached maximal or severe levels, 5HT-2A

agonists can be administered early in the illness, such as at the first signs of schizophrenic illness, and continuously thereafter to prevent

development or worsening of pathol. brain dysfunction and the resulting psychosis.

IT 132539-06-1, Olanzapine

RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)

(serotonin 5HT-2A agonists for prevention of adverse effects of NMDA receptor hypofunction)

RN 132539-06-1 CAPLUS

the

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 20

(1) Caldwell, M; Neuropsychobiology 1996, V34, P117 CAPLUS

(3) Carlsson, M; Journal of Neural Transmission 1995, V100, P225 CAPLUS

(5) Fink, H; Psychoparmacology 1985, V85, P464 CAPLUS

(6) Fiorella, D; Psychoparmacology 1995, V121, P357 CAPLUS

(7) Glennon, R; Neuropsychopharmacology 1990, V3, P509 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L21 ANSWER 18 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1999:316525 CAPLUS

DN 130:343012

TI Polyurethane hydrogel drug reservoirs for use in transdermal drug delivery

systems, and associated methods of manufacture and use

IN Chen, Tung-fen; Chiang, Chia-ming; Jona, Janan; Joshi, Priti; Ramdas, Asha

PA Cygnus, Inc., USA

SO U.S., 15 pp., Cont.-in-part of U.S. Ser. No. 581,128, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5902603 A 19990511 US 1996-713711 19960913

PRAI US 1995-528105 19950914 US 1995-581128 19951229

AB High capacity drug reservoirs are provided for incorporation into transdermal drug delivery systems. The drug reservoirs are hydrogels formulated from polyurethanes crosslinked with diisocyanate crosslinking agents or cured with radiation in the presence of a photoinitiator. Drug loading as high as 65 to 70 % or higher can be achieved by absorbing drug formulation into the reservoir after hydrogel synthesis. Methods for making and using transdermal systems contg. such reservoirs are provided as well. Olanzapine was dissolved in a combination of vehicles contg. Me laurate 10, lauryl lactate 45, and 1,2-butanediol 45 %, added with water to Hypol PreMA G-50 polymer (Hampshire Chem. Corporation) (the ratio of water to polymer was approx. 2:1) and mixed together until a hydrogel was formed. The gel was cut into circles and applied onto human cadaver skin

was

replaced with fresh fluid and analyzed for olanzapine using HPLC.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (polyurethane hydrogel reservoirs for steroid transdermal delivery systems contg. permeation enhancers)

using a Franz diffusion cell and at predetd. times, the receiver fluid

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 16

- (1) Anon; WO 91/05809 1991 CAPLUS
- (2) Anon; WO 92/20324 1992 CAPLUS
- (4) Anon; WO 97/09971 1997 CAPLUS
- (5) Anon; WO 97/24148 1997 CAPLUS
- (8) Cartmell; US 5160328 1992 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 19 OF 73 CAPLUS COPYRIGHT 2000 ACS 1999:297269 CAPLUS

DN 130:332902

TI Treatment of schizophrenia with AMPAkines and neuroleptics

IN Johnson, Steven A.; Rogers, Gary A.; Lynch, Gary S.

```
PA
      Cortex Pharmaceuticals, Inc., USA; The Regents of the University of
      California
SO
      PCT Int. Appl., 59 pp.
      CODEN: PIXXD2
DТ
      Patent
LA
      English
FAN.CNT 1
      PATENT NO.
                          KIND DATE
                                                    APPLICATION NO. DATE
                         ____
                                 _____
                                                   _____
                                                  WO 1998-US22707 19981026
PΙ
      WO 9921422
                           A1
                                 19990506
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
               DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
               KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
TΜ
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
```

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9913658 A1 19990517 AU 1999-13658 19981026

PRAI US 1997-63627 19971027

MARPAT 130:332902

os

WO 1998-US22707 19981026

AB The invention relates to treatment of schizophrenia and related psychotic disorders, including enhancement of receptor functioning in synapses in brain networks responsible for higher order behaviors. In a particular aspect, the invention relates to methods for the use of AMPA receptor up-modulators in conjunction with antipsychotics for the treatment of schizophrenia. Kits contg. the compns. in appropriate form for administration are also provided. A representative AMPAkine (CX516)

administration are also provided. A representative AMPAkine (CX516) synergistically enhanced clozapine antagonism of methamphetamine-induced rearing activity.

IT **132539-06-1**, Olanzapine

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(AMPAkines and antipsychotic agents for treatment of schizophrenia)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 2

(1) The Regents Of The University Of California; WO 9707799 A1 1997 CAPLUS

```
(2) Vanover, K; Eur J Pharmacol 1997, V332(2), P115 CAPLUS
      ANSWER 20 OF 73 CAPLUS COPYRIGHT 2000 ACS
      1999:282129 CAPLUS
ΆN
      130:291604
DN
      Methods of screening potential atypical antipsychotic drugs
ΤI
IN
      Wang, Rex Y.; Liang, Xiaofu
PA
      The Research Foundation of State University of New York, USA
SO
      PCT Int. Appl., 44 pp.
      CODEN: PIXXD2
DT
      Patent
      English
LA
FAN.CNT 1
                          KIND DATE
                                                   APPLICATION NO. DATE
      PATENT NO.
                                 19990429
                                                  WO 1998-US22492 19981023
PT
      WO 9920315
                          A1
          W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
          W. AL, AN, AI, AO, AZ, BA, BB, BG, BK, BI, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      AU 9911180
                          A1
                                19990510
                                                   AU 1999-11180
                                                                       19981023
PRAI US 1997-62750
                          19971023
      WO 1998-US22492 19981023
AΒ
      Methods are disclosed for screening potential atypical antipsychotic
drugs
      (APDs). One method comprises examn. of the ability of potential APDs to
      prevent the N-methyl-D-aspartate (NMDA) receptor antagonist phencyclidine
      (PCP)-induced blockade of NMDA responses in pyramidal cells of the medial
      prefrontal cortex in in vitro brain slice prepns. Another method
      disclosed herein involves examn. of the ability of potential atypical
APDs
      to prevent effects produced by repeated treatment of PCP in pyramidal
      cells of the medial prefrontal cortex in in vitro brain slice prepns.
                                                                                          Tn
      humans, not only does PCP causes hallucinations and delusions, but it
also
      causes an assocd. apathetic state and a type of formal thought disorder
      that are distinctive features of schizophrenia. Furthermore, in
      schizophrenics, NMDA antagonists produce an exacerbation of psychotic
      symptoms and cognitive impairment. Cognitive deficits have also been
      obsd. in PCP-treated rats and monkeys. Evidence has been accumulating to
      show that atypical APDs are a lot more effective than typical APDs in
      preventing/reversing the PCP-induced effect. Electrophysiol. methods are
      disclosed herein for screening potential atypical APDs and predicting
      their therapeutic efficacy in schizophrenic neg. symptoms and
      neuropsychol. and cognitive deficits.
IT
      132539-06-1, Olanzapine
      RL: BAC (Biological activity or effector, except adverse); THU
      (Therapeutic use); BIOL (Biological study); USES (Uses)
          (screening potential atypical antipsychotic drugs)
RN
      132539-06-1 CAPLUS
      10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

```
Me
           Me
```

```
RE.CNT 9
```

- (1) Church; Neuroscience Letters 1991, V124, P232 CAPLUS
- (2) Corbett; Psychopharmacology 1995, V120, P67 CAPLUS(3) Hoffman; Psychopharmacology 1993, V111, P339 CAPLUS
- (4) Malouf; Neuropharmacology 1988, V27(11), P1161 CAPLUS
- (5) McQuiston; Neuroscience Letters 1992, V138, P261 CAPLUS
- ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L21
    ANSWER 21 OF 73 CAPLUS COPYRIGHT 2000 ACS
```

ΑN 1999:233762 CAPLUS

DN 130:257362

Methylthienobenzodiazepine derivative antipsychotic drug formulation. TI

Allen, Douglas James; Dekemper, Kurt Douglas; Ferguson, Thomas Harry; IN Garvin, Stuart James; Murray, Linda Cameron; Brooks, Norman Dale; Bunnell,

Charles Arthur; Hendriksen, Barry Arnold; Mascarenhas, Snehlata Singh; Shinkle, Sharon Louise; Sanchez-Felix, Manuel Vicente; Tupper, David Edward

Eli Lilly and Company, USA PA

SO PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DTPatent

LА English

FAN.	CNT	1																
	PAT	CENT 1	NO.		KI	ND	DATE			A	PPLI	CATI	ои и	0.	DATE			
PI	WO	9916	 313		Α	 1	1999	 0408		W		 98-U			 1998	 0930		
		w:	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	ΚE,
			KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,
			MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,
			TT,	UA,	UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,
TM																		
		RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	υG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GΑ,	GN,	G₩,	ML,	MR,	NE,	SN,	TD,	TG						
	ΑU	9895	914		A	1	1999	0423		A	U 19	98-9	5914		1998	0930		
PRAI	US	1997	-604	93	19:	9709	30											
		1998-																
AB		e inve		-			_				ly a	ccep	tabl	e ol	eagi	nous	or	
		olest			-				tion	of								
2-met	thyl	L-4-(4	4-me	thyl	-1-p:	iper	azin	yl)-										

10H-thieno[2.3-b][1.5]benzodiazepine (olanzapine) (prepn. given) or olanzapine pamoate or solvates thereof. The invention further provides 09/163,769 Page 48

novel olanzapine pamoate salts or solvates thereof. ΙT 132539-06-1P, Olanzapine RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and formulation of) RN 132539-06-1 CAPLUS CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

```
RE.CNT 2
(1) Beasley Jr; US 5602897 A 1997
```

(2) Chakrabarti; US 5229382 A 1993

ANSWER 22 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1999:233761 CAPLUS

DN 130:276761

ΤI Method for treating sexual dysfunction using 2-methyl-4-(4-methyl-1piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine

Tran, Pierre Van IN

PA Eli Lilly and Company, USA

so PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DTPatent

English LΑ

FAN. CNT 1																		
	PAT	ENT 1	ΝО.		KI	ND	DATE			A.	PPLI	CATI	ON NO	٥.	DATE			
							1000											
ΡI	WO	9916	312		A	Т	1999	0408		W	0 19	98-0	SZUL	52	1998	0925		
		W:	AL,	AM,	AU,	ΑZ,	ВA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GD,	GE,
			GH,	GM,	HR,	HU,	ID,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	RO,	RU,	SD,	SG,
			SI,	sĸ,	SL,	ТJ,	TM,	TR,	TT,	UA,	ŪĠ,	US,	UZ,	VN,	YU,	ZW,	AM,	AZ,
			BY,	KG,	ΚZ,	MD,	RU, TJ,		TM									
		RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,
			GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG								
	ΑU	9895	834		A	1	1999	0423		Αl	ປ 19:	98-9	5834		1998	0925		
	EΡ	9110	28		A.	2	1999	0428		E	P 199	98-3	0795	0	1998	0930		
	EP 911028				A.	3	1999	0506										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	·SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO										•
PRAI	RAI US 1997-60415				19	9709	30											

WO 1998-US20152 19980925

The invention provides a method for treating a sexual dysfunction AΒ comprising administering an effective amt. of 2-methyl-4-(4-methyl-1piperazinyl)-10H-thieno[2,3-b][1,5] benzodiazepine. Prepn. of the compd. of the invention is described, and pharmaceutical compns. are included. 132539-06-1D, form I

RL: BAC (Biological activity or effector, except adverse); FMU (Formation,

unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(thienobenzodiazepine deriv. for sexual dysfunction treatment, prepn., and compns.)

132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thienobenzodiazepine deriv. for sexual dysfunction treatment, prepn., and compns.

RE.CNT

(1) Beasley; US 5817657 A 1998 CAPLUS

ANSWER 23 OF 73 CAPLUS COPYRIGHT 2000 ACS

ÁN 1999:194003 CAPLUS

DN 130:227755

ΤI Controlled-release microsphere delivery system comprising a drug and a

IN Illum, Lisbeth; Cheng, Yu-hui; Watts, Peter James; Davis, Stanley Stewart

PA Danbiosyst UK Ltd., UK

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.		1 ENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	o. :	DATE		
ΡI	 WO 9912549 WO 9912549				A.	_	1999			W	0 19	98-G	B269	2	1998	0907	
	WO	9917	549		A.	3	1999	0506									
		w:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,

DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,

NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9889925 A1 19990329 AU 1998-89925 19980907

PRAI GB 1997-18986 19970909

19980907 WO 1998-GB2692

A pharmaceutical compn. comprises polymeric microparticles including a AB drug and a fatty acid, which compn. may be adapted to provide a release rate of drug that is approx. linear with time, and to provide no significant burst effect. Olanzapine (I) 200, oleic acid 50, and poly(lactide-qlycolide) 400 mg were dissolved in 4 mL dichloromethane to form an oil phase. The oil phase was dropped into 200 mL of cooled aq. phase contg. 1% polyvinyl alc. and emulsified and the resultant emulsion was agitated continuously for 4 h at room temp. The microspheres thus obtained were collected, freeze-dried and passed through a 250 .mu.m sieve

to remove any larger aggregation. There was almost no burst effect and the release profile of I was essentially linear over a 10 day period. IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (controlled-release microsphere delivery system comprising drug and fatty acid)

RN 132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

T.21 ANSWER 24 OF 73 CAPLUS COPYRIGHT 2000 ACS

1999:147369 CAPLUS AΝ

DN 130:177544

TТ Preventing neuronal degeneration in Alzheimer's disease with clozapine, olanzapine and fluperlapine, and salts, isomers and analogs thereof

Olney, John W.; Farber, Nuri B. IN

PΑ Washington University, USA

SO U.S., 32 pp.

CODEN: USXXAM

DTPatent LА English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5877173 A 19990302 US 1996-704093 19960828 AB A method for reducing progressive neuronal degeneration due to Alzheimer's

disease is disclosed wherein a neuroprotective drug selected from the group consisting of clozapine, olanzapine and fluperlapine, and salts, isomers and analogs thereof, is administered.

IT 132539-06-1, Olanzapine 132539-06-1D, Olanzapine, analogs and isomers

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(clozapine, olanzapine, and fluperlapine, and salts, isomers, and analogs thereof, for prevention of neuronal degeneration in

Alzheimer's

disease)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RE.CNT 30

(2) Bryson, H; Drugs and Aging 1997, V10, P234 CAPLUS

```
(6) Ellison, G; Brain Research Reviews 1995, V20, P250 CAPLUS
(8) Gong, C; Brain Res 1996, V741, P95 CAPLUS
(12) Marx, J; Science 1996, V273, P50 CAPLUS
(13) Mattson, M; Neurobiology of Aging 1995, V16, P447 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT
X21
      ANSWER 25 OF 73 CAPLUS COPYRIGHT 2000 ACS
'AA`
      1998:708815 CAPLUS
DN
      129:335734
TI
      Pharmaceutical compositions containing olanzapine for treatment of
      amyotrophic lateral sclerosis
IN
      Bymaster, Franklin Porter; Tollefson, Gary Dennis
PA
      Eli Lilly and Co., USA
SO
      PCT Int. Appl., 29 pp.
      CODEN: PIXXD2
DT
      Patent
LА
      English
FAN.CNT 1
      PATENT NO.
                         KIND DATE
                                                  APPLICATION NO. DATE
                         ____
PΙ
      WO 9846596
                          A1
                                 19981022
                                                  WO 1998-US6932
                                                                       19980408
          W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
      AU 9869559
                           A1
                                 19981111
                                                   AU 1998-69559
                                                                       19980408
      EP 872238
                           A2
                                 19981021
                                                   EP 1998-302789
                                                                       19980409
      EP 872238
                           А3
                                 19981028
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
PRAI US 1997-43094
                          19970415
      WO 1998-US6932
                          19980408
AB
      Pharmaceutical compns. for treating amyotrophic lateral sclerosis and for
      providing a neuro-protective effect comprise administering a
      therapeutically effective of olanzapine (I) or a pharmaceutically
     acceptable salt or solvate thereof. A suspension of I (prepn. given) in Et acetate was heated at 76.degree. for 30 min., then it was allowed to
      cool to 25.degree.. Form II I which was isolated by filtration had
      potency .gtoreq.97%. Formulation of a tablet contg. I was given.
IT
      132539-06-1P
      RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
      preparation); THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); USES (Uses)
         (pharmaceutical compns. contg. olanzapine for treatment of amyotrophic
         lateral sclerosis)
RN
      132539-06-1 CAPLUS
      10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

```
Me
                   Me
     ANSWER 26 OF 73 CAPLUS COPYRIGHT 2000 ACS
     1998:706091 CAPLUS
DN
     129:298403
     Method for treating cerebral focal stroke with olanzapine
ΤT
     Bymaster, Franklin Porter; Tollefson, Gary Dennis
IN
     Eli Lilly and Co., USA
PA
SO
     PCT Int. Appl., 33 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND DATE
                                                APPLICATION NO.
                                                                    DATE
                        ____
                                                WO 1998-US7154
PΙ
     WO 9846230
                         Α1
                               19981022
                                                                    19980408
              AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
              GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9868961
                          A1
                               19981111
                                                 AU 1998-68961
                                                                    19980408
     EP 872239
                          A2
                                19981021
                                                 EP 1998-302794
                                                                    19980409
     EP 872239
                          A3
                               19981028
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO
                         19980408 00 15
PRAI US 1997-43095
     WO 1998-US7154
     A method is provided for treating cerebral focal stroke comprising
AΒ
     administering a therapeutically effective dosage of olanzapine or a
     pharmaceutically acceptable salt or solvate thereof. Prepn. of form II
     olanzapine polymorph is described.
IΤ
     132539-06-1DP, Olanzapine, form II polymorph
     RL: BAC (Biological activity or effector, except adverse); PRP
     (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
      (Biological study); PREP (Preparation); USES (Uses)
         (olanzapine for cerebral focal stroke treatment)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

IT 132539-06-1P, Olanzapine

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(olanzapine for cerebral focal stroke treatment)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

121 ANSWER 27 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:682129 CAPLUS

DN 129:286011

TI New therapeutic combinations of mirtazapine and antipsychotic agents, for the treatment or prophylaxis of psychotic disorders

IN Broekkamp, Christophorus Louis Eduard; Berendsen, Hermanus Henricus Gerardus; Pinder, Roger Martin

PA Akzo Nobel N.V., Neth.

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 9843646 A1 19981008 WO 1998-EP1920 19980325

```
W: AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
                FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
                GA, GN, ML, MR, NE, SN, TD, TG
      ZA 9802368
                                  19980923
                                                    ZA 1998-2368
                                                                         19980319
                           Α
      AU 9872139
                           A1
                                  19981022
                                                    AU 1998-72139
                                                                         19980325
      EP 969845
                           A1
                                  20000112
                                                    EP 1998-919209
                                                                         19980325
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, FI
      NO 9904673
                                  19991117
                                                    NO 1999-4673
                                                                        19990924
                           Α
                          19970327
PRAI EP 1997-200881
      EP 1997-202785
                          19970911
      WO 1998-EP1920
                          19980325
AΒ
      Therapeutic combinations of mirtazapine and an antipsychotic agent are
      disclosed, as are pharmaceutical compns. contg. these combinations and
      their use in the treatment or prophylaxis of psychotic disorders.
      132539-06-1, Olanzapine
IT
      RL: BAC (Biological activity or effector, except adverse); THU
      (Therapeutic use); BIOL (Biological study); USES (Uses)
          (mirtazapine-antipsychotic agent combinations for treatment or
         prophylaxis of psychotic disorders)
      132539-06-1 CAPLUS
RN
      10H-Thieno[2,3-b][1,5]benzodiazepine,
CN
2-methyl-4-(4-methyl-1-piperazinyl)-
      (9CI) (CA INDEX NAME)
```

FAN.CNT 6

ANSWER 28 OF 73 CAPLUS COPYRIGHT 2000 ACS L21 1998:653544 CAPLUS ΑN DN 129:286009 TI 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of psychoactive substance disorders IN Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward PΑ Eli Lilly and Company, USA; Eli Lilly and Company Limited U.S., 10 pp. Cont.-in-part of U.S. 5,605,897. SO CODEN: USXXAM DT Patent LА English

	PATENT NO.	KIND	DATE	APPLICATION NO	DATE
ΡI	US 5817657	Α	19981006	US 1996-748294	19961113
	US 5229382	Α	19930720	US 1992-890348	19920522
	US 5605897	A	19970225	US 1995-387498	19950213
PRA	I US 1991-690143	19910	423		
	US 1992-890348	19920	522		
	US 1993-44844	19930	408		
	US 1995-387498	19950	213		
	GB 1990-9229	19900	425		
AB	2-Methyl-4-(4-me	thyl-1	-piperazinyl)-	10H-thieno-[2,3-k	[1,5]benzo
				thereof has phan	

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (prepn. described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders relating to the use of psychoactive substances.

## IT 132539-06-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methyl (methylpiperazinyl) thienobenzodiazepine, prepn., pharmaceutical formulations, and treatment of psychoactive substance disorders)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 29 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:653543 CAPLUS

DN 129:286008

TI 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine for treatment of mental disorders

IN Beasley, Charles M., Jr.; Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward

PA Eli Lilly and Company, USA; Eli Lilly and Company Limited

SO U.S., 10 pp. Cont.-in-part of U.S. 5,605,897. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5817656	A	19981006	US 1996-748293	19961113
	US 5229382	Α	19930720	US 1992-890348	19920522

09/163,769

Page 57

US 5605897 A 19970225 US 1995-387498 19950213

PRAI US 1991-690143 19910423

US 1992-890348 19920522

US 1993-44844 19930408

US 1995-387498 19950213

GB 1990-9229 19900425

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (prepn. described), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of mental disorders.

## IT 132539-06-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methyl(methylpiperazinyl)thienobenzodiazepine, prepn., pharmaceutical formulations, and use for treatment of mental disorders)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 30 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:653542 CAPLUS

DN 129:270629

TI Methods of treatment of psychotic conditions using a thieno-benzodiazepine

IN Chakrabarti, Jiban Kumar; Hotten, Terrence Micharl; Tupper, David Edward

PA Eli Lilly and Company, USA; ELI LILLY AND COMPANY LIMITED

SO U.S., 10 pp. Cont.-in-part of U.S. 5,627,178. CODEN: USXXAM

DT Patent

LA English

EAN CNT 6

FAN.	FAN. CNT 6													
	PA!	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE							
ΡI	US	5817655	Α	19981006	US	1996-748292	19961113							
	US	5229382	Α	19930720	US	1992-890348	19920522							
	US	5627178	Α	19970506	US	1995-387997	19950213							
	US	6008216	Α	19991228	US	1998-122294	19980724							
PRAI	US	1991-690143	19910	423			•							
	US	1992-890348	19920	522										
	US	1993-44844	19930	408										

US 1995-387997 19950213 GB 1990-9229 19900425 US 1996-748292 19961113

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. The results of pharmacol. tests show that I (prepn. given) is an antagonist of dopamine at D-1 and D-2 receptors, has antimuscarinic anticholinergic properties, and antagonist activity at 5HT-2 receptor sites. It also has antagonist activity at noradrenergic . .alpha.-receptors. Overall in clin. situations, I showed marked superiority and a better side effects profile than prior art antipsychotic

agents, and had a highly advantageous activity level.

IT 132539-06-1P

RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(treatment of psychotic conditions using thieno-benzodiazepine compd.)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (treatment of psychotic conditions using thieno-benzodiazepine compd.

L21 ANSWER 31 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:603230 CAPLUS

DN 129:207225

TI Transdermal delivery of basic drugs using nonpolar adhesive systems and acidic solubilizing agents

IN Audett, Jay; Bailey, Susan E.

PA Cygnus, Inc., USA

SO PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PΤ

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

```
DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,
             FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
             GA, GN, ML, MR, NE, SN, TD, TG
     CA 2252772
                            19980903
                                           CA 1998-2252772 19980227
                       AA
     AU 9866709
                                           AU 1998-66709
                       A1
                            19980918
                                                             19980227
                                           EP 1998-908760
     EP 910353
                       A1
                            19990428
                                                             19980227
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                                 5,879,701
PRAI US 1997-808211
                      19970228
                      19980227
     WO 1998-US3832
AB
     Solubilization enhancer compns. are provided which facilitate transdermal
     administration of basic drugs from transdermal systems composed of
     nonpolar adhesive materials. Preferred solubilization enhancer compns.
     are comprised of liq., isomeric acid mixts. such as oleic acid dimer.
The
     invention also relates to novel transdermal systems, drug reservoirs,
     formulations, and methods of drug administration, in which the disclosed
     solubilization enhancer compns. are used. Good skin flux was obsd.
during
     2 days with a compn. contq. 2% tamsulosin, 2% lauric acid, 15% silica
gel,
     81% polyisobutylene at a 35 mg/cm2 coating wt., and 25%
     1,3-butanediol-propylene glycol monolaurate 90 (9.5:0.5).
IT
     132539-06-1, Olanzapine
     RL: DEV (Device component use); THU (Therapeutic use); BIOL (Biological
     study); USES (Uses)
        (transdermal delivery of basic drugs using nonpolar adhesive systems
        and acidic solubilizers)
     132539-06-1 CAPLUS
RN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
```

(9CI)

- L21 ANSWER 32 OF 73 CAPLUS COPYRIGHT 2000 ACS
- AN 1998:263237 CAPLUS
- DN 128:312930
- TI Olanzapine for treating insomnia

(CA INDEX NAME)

IN Tran, Pierre Van

PA Eli Lilly and Company, USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5744470 A 19980428 US 1997-799052 19970210

The invention provides a method for treating insomnia comprising administering an effective amt. of olanzapine to an elderly patient who has been previously treated with a hypnotic agent. 2-Methyl-10H-thieno[2,3-b][1,5]benzodiazepin-4-amine.cntdot.HCl was treated with N-methylpiperazine to obtain olanzapine, which was suspended in anhyd. EtOAc while heating and the product was isolated using vacuum filtration. The product was identified as Form II using x-ray powder anal. A tablet was formulated contg. 1.18 % olanzapine.

IT 132539-06-1P, Olanzapine

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(olanzapine for treating insomnia)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 33 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:263236 CAPLUS

DN 129:8586

TI Method for treating dermatitis

IN Tran, Pierre V.

PA Eli Lilly and Company, USA

SO U.S., 4 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PI US 5744469 A 19980428 US 1996-756996 19961126

AB The invention provides a method for treating fungal dermatitis comprising

administering an effective amt. of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-

thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. I was prepd. from 2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine-HCl and N-methylpiperazine. Tablets contg. I were prepd.

ΙT 132539-06-1P

> RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(piperazinyl thienobenzodiazepine deriv. for fungal dermatitis treatment)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 34 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1998:226721 CAPLUS

DN 128:261935

ΤI Olanzapine polymorph crystal form pharmaceutical

IN Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Larsen, Samuel Dean

PA Eli Lilly and Company, USA

U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 409,566, abandoned. SO CODEN: USXXAM

DΤ Patent

English LA

FAN.CNT 3 PATENT NO. KIND DATE APPLICATION NO. DATE																		
	PA	PENT	ΝО.		KI	ND	DATE			A	PPLI	CATI	ои и	٥.	DATE			
ΡI	US	5736	541		Α		1998	0407		U	s 19	96-6	8698	9	1996	0725		
	CA	2214	005		A	A	1996	1003		C	A 19	96-2	2140	05	1996	0322		
	WO	9630	375		Α	1	1996	1003		W	o 19	96-U	S391	7	1996	0322		
		w:	AL,	AM,	ΑT,	ΑU,	AZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,
		ES, FI		FI,	GB,	GE,	HU,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LK,	LR,	LS,	LT,
	LU, LV,		LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	
			SG,	SI														
		RW:	ΚE,	LS,	MW,	SD,	SZ,	UG,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,
			NE,	SN,	TD,	TG												
	ΑU	9652	578		A	1	1996	1016		Αl	J 19:	96-5	2578		1996	0322		
	AU	9654	279		A	1	1996	1016		A	J 19	96-5	4279		1996	0322		
	AU	7064	71		B	2	1999	0617										
	GB	2313	835		A.	1,	1997	1210		GI	B 19:	97-1	9819		1996	0322		

```
GB 2313835
                       B2
                            19980916
     DE 19681286
                       T
                            19980402
                                           DE 1996-19681286 19960322
     CN 1179160
                       Α
                            19980415
                                           CN 1996-192775
                                                            19960322
     BR 9607790
                       Α
                            19980707
                                           BR 1996-7790
                                                            19960322
     JP 11502535
                       T2
                            19990302
                                           JP 1996-529532
                                                            19960322
     AT 9609021
                                           AT 1996-9021
                       Α
                            20000115
                                                            19960322
     SE 9703205
                       A
                            19970905
                                           SE 1997-3205
                                                            19970905
     LV 12018
                       В
                            19980920
                                           LV 1997-163
                                                            19970908
     LT 4349
                       В
                            19980525
                                           LT 1997-148
                                                            19970916
     FI 9703750
                      Α
                            19970922
                                           FI 1997-3750
                                                            19970922
     NO 9704365
                      Α
                            19970922
                                           NO 1997-4365
                                                            19970922
     DK 9701089
                       Α
                            19971112
                                           DK 1997-1089
                                                            19970923
PRAI US 1995-409566
                      19950324
     US 1995-410474
                      19950324
     WO 1996-US3854
                      19960322
     WO 1996-US3917
                      19960322
AΒ
     The invention provides Form II, a pharmaceutically elegant, stable
     polymorph of olanzapine, useful for treating psychotic conditions, mild
     anxiety and gastrointestinal conditions.
IT
     132539-06-1, Olanzapine
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (form II; olanzapine polymorph crystal form pharmaceutical)
     132539-06-1 CAPLUS
RN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L21 ANSWER 35 OF 73 CAPLUS COPYRIGHT 2000 ACS AN 1998:204464 CAPLUS DN 128:275100 TI Intermediates and process for preparing olanzapine IN Bunnell, Charles Arthur; Larsen, Samuel Dean; Nichols, John Richard; Reutzel, Susan Marie; Stephenson, Gregory Alan PΑ Eli Lilly and Co., USA SO Eur. Pat. Appl., 16 pp. CODEN: EPXXDW DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE PIEP 831098 A2 19980325 EP 1997-307383 19970922

```
EP 831098
                       АЗ
                            19980429
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
         R:
             IE, SI, LT, LV, FI, RO
     WO 9812199
                       A1
                           19980326
                                           WO 1997-US16499 19970918
             AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
         W:
             HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL,
             TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     AU 9744841
                                           AU 1997-44841
                       A1
                            19980414
                                                             19970918
     BR 9712100
                            19990831
                                           BR 1997-12100
                                                             19970918
                       Α
     CN 1234802
                                           CN 1997-198137
                       Α
                            19991110
                                                             19970918
     US 6020487
                                           US 1997-935884
                       Α
                            20000201
                                                             19970923
     NO 9901382
                            19990322
                                           NO 1999-1382
                       Α
                                                             19990322
PRAI US 1996-26487
                      19960923
     WO 1997-US16499 19970918
AB
     The present invention provides a process for prepg. olanzapine and
     dihydrate polymorphs. Olanzapine was prepd. from a known intermediate
and
     later converted to its dihydrate. The x-ray powder anal. of the compd.
     was carried out.
IT
     132539-06-1P, Olanzapine
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (intermediates and process for prepg. olanzapine)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
CN
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

English

LΑ

L21 ANSWER 36 OF 73 CAPLUS COPYRIGHT 2000 ACS 1998:204418 CAPLUS AN DN 128:261967 TI Formulation comprising coated olanzapine particles Morris, Tommy Clifford; Lange, Hans Joerg IN PA Eli Lilly and Co., USA so Eur. Pat. Appl., 10 pp. CODEN: EPXXDW DT**Patent** 

```
FAN.CNT 1
     PATENT NO.
                        KIND
                               DATE
                                               APPLICATION NO.
                                                                  DATE
                        ____
                               _____
                                               EP 1997-307380
PΙ
     EP 830858
                         Α1
                               19980325
                                                                  19970922
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
     WO 9813027
                         A1
                               19980402
                                               WO 1997-US16547 19970918
              AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH,
              HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, KE, LS, MW, SD, SZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN,
              ML, MR, NE, SN, TD, TG
                         A1
                               19980417
                                               AU 1997-44230
                                                                  19970918
     CN 1230883
                               19991006
                                               CN 1997-198099
                                                                  19970918
                         Α
     NO 9901405
                               19990323
                                               NO 1999-1405
                                                                  19990323
                         Α
PRAI US 1996-PV26633
                        19960924
     WO 1997-US16547
                        19970918
     A pharmaceutically elegant solid oral formulation comprising olanzapine
AB
as
     an active ingredient with one or more pharmaceutically acceptable
     excipients is provided, wherein the olanzapine is coated with cetyl alc.,
     cetyl esters wax, carnauba wax, shellac, beeswax, magnesium stearate,
     hydroxypropyl Me cellulose, hydroxyethyl cellulose, Me hydroxyethyl
     cellulose, sodium CM-cellulose, hydroxypropyl cellulose, PVP,
     dimethylaminoethyl methacrylate-Me acrylate copolymer, Et acrylate-Me
     methacrylate copolymer, Me cellulose, and/or Et cellulose, to prevent
     dissolvation of olanzapine. Olanzapine is substantially pure form II
     polymorph (x-ray powder diffraction pattern is shown).
IT
     132539-06-1, Olanzapine
     RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study);
USES
         (coated olanzapine particles for prevention of color changes in solid
        oral dosage forms)
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI)
            (CA INDEX NAME)
```

L)1

ANSWER 37 OF 73 CAPLUS COPYRIGHT 2000 ACS

```
1998:98347 CAPLUS
ΜA
DN
     128:176168
TI
     Pharmaceutical compositions containing a 5-HT2C antagonist and a D2
     antagonist for treatment of CNS disorders, including schizophrenia, and
     compound preparation
IN
     Blackburn, Thomas Paul
PA
     Smithkline Beecham PLC, UK; Blackburn, Thomas Paul
SO
     PCT Int. Appl., 13 pp.
     CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
                       ----
PΙ
     WO 9804289
                       A2
                              19980205
                                             WO 1997-EP4159 19970722
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
              DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
              GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
              GN, ML, MR, NE, SN, TD, TG
     AU 9742972
                        A1
                              19980220
                                              AU 1997-42972
                                                                 19970722
     EP 936924
                              19990825
                                              EP 1997-918947
                        A2
                                                                 19970722
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, FI
     CN 1230894
                              19991006
                                              CN 1997-197977
                                                                 19970722
                       Α
     ZA 9706593
                        Α
                              19990125
                                              ZA 1997-6593
                                                                 19970724
     NO 9900322
                              19990324
                                              NO 1999-322
                                                                 19990125
                        Α
                       19960726
PRAI GB 1996-15767
     WO 1997-EP4159
                       19970722
     Combinations of compds. having 5-HT2C and D2 antagonist activity, compds.
AB
     having activity at the two receptors, pharmaceutical compns. contg. them,
     and their use in treating CNS disorders, including schizophrenia, are
     disclosed.
IT
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (D2 antagonist and 5-HT2C antagonist for treatment of CNS disorders,
        including schizophrenia, and compd. prepn.)
RN
     132539-06-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

```
Me
N
N
N
Me
```

ibuprofen.

132539-06-1, Olanzapine

IT

L21

```
AN
     1997:650274 CAPLUS
DN
     127:288191
ΤI
     Method for treating pain
IN
     Shannon, Harlan E.; Womer, Daniel E.
PA
     Eli Lilly and Company, USA
SO
     PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DΤ
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                           DATE
                                           -----
PΙ
     WO 9735586
                            19971002
                                           WO 1997-US4721
                      A1
                                                            19970324
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN,
             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     CA 2250187
                       AA
                            19971002
                                           CA 1997-2250187 19970324
                       A1
     AU 9725430
                            19971017
                                           AU 1997-25430
                                                            19970324
                            19990616
     CN 1219877
                       Α
                                           CN 1997-194951
                                                            19970324
     EP 921802
                       A1
                            19990616
                                           EP 1997-916947
                                                            19970324
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, LT, LV, FI, RO
     BR 9708254
                     A 19990803
                                           BR 1997-8254
                                                            19970324
     US 5945416
                       Α
                            19990831
                                           US 1997-823461
                                                            19970324
     NO 9804431
                       Α
                            19981119
                                           NO 1998-4431
                                                            19980923
PRAI US 1996-14128
                      19960325
     US 1996-14129
                      19960325
     US 1996-14130
                      19960325
     US 1996-14132
                      19960325
     WO 1997-US4721
                      19970324
AB
     The present invention provides a method for treating pain using a compn.
     comprising olanzapine and drug useful in the treatment of pain e.g.
```

nonsteroidal antiinflammatories such as aspirin, indomethacin and

RL: BAC (Biological activity or effector, except adverse); THU

ANSWER 38 OF 73 CAPLUS COPYRIGHT 2000 ACS

09/163,769 Page 67

ANSWER 39 OF 73 CAPLUS COPYRIGHT 2000 ACS

L21

```
AN
     1997:650273 CAPLUS
     127:288190
DN
TI
     Anesthetic method
IN
     Benvenga, Mark J.; Shannon, Harlan E.
PA
     Eli Lilly and Company, USA
so
     PCT Int. Appl., 21 pp.
     CODEN: PIXXD2
ידים
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                           DATE
                      ____
                            _____
                                           ______
     WO 9735585
PΙ
                      A1
                            19971002
                                           WO 1997-US4720
                                                            19970324
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ,
             LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN,
             YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
             GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     CA 2250155
                       AΑ
                            19971002
                                           CA 1997-2250155 19970324
     AU 9725429
                            19971017
                                           AU 1997-25429
                                                             19970324
                       A1 ·
     EP 904083
                       A1
                            19990331
                                           EP 1997-916946
                                                             19970324
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
                            19991026
                                           US 1997-823459
     US 5972932
                       Α
                                                            19970324
                      19960325
PRAI US 1996-14120
     WO 1997-US4720
                      19970324
AB
     The present invention provides a method for providing anesthesia using a
     compn. comprising olanzapine and one or more opioids.
IT
     132539-06-1, Olanzapine
```

RL: BAC (Biological activity or effector, except adverse); THU

09/163,769

ANSWER 40 OF 73 CAPLUS COPYRIGHT 2000 ACS

ΑN

1997:650271 CAPLUS

```
127:298752
DN
ΤI
      Olanzapine for treatment of pain
IN
      Helton, David R.; Kallman, Mary J.; Shannon, Harlan E.; Womer, Daniel E.
PA
     Eli Lilly and Company, USA
SO
      PCT Int. Appl., 26 pp.
      CODEN: PIXXD2
DT
      Patent
LА
     English
FAN.CNT 1
      PATENT NO.
                         KIND
                                DATE
                                                  APPLICATION NO.
                                                                     DATE
                                                  _____
PI
      WO 9735583
                                19971002
                                                 WO 1997-US4626
                                                                     19970324
                          A1
              AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
               PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,
               GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
               ML, MR, NE, SN, TD, TG
      CA 2248873
                          AΑ
                                19971002
                                                  CA 1997-2248873 19970324
     AU 9723408
                          A1
                                19971017
                                                  AU 1997-23408
                                                                      19970324
     EP 910381
                          Α1
                                19990428
                                                  EP 1997-916159
                                                                      19970324
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, MC, PT, IE,
               SI, LT, LV, FI, RO
      CN 1219878
                                19990616
                                                  CN 1997-194952
                                                                      19970324
                          Α
     BR 9708246
                          Α
                                19990727
                                                  BR 1997-8246
                                                                      19970324
     NO 9804446
                          Α
                                19981125
                                                 NO 1998-4446
                                                                      19980924
                         19960325
PRAI US 1996-14131
     US 1996-14133
                         19960325
     US 1996-14153
                         19960325
     WO 1997-US4626
                         19970324
AB
     The present invention provides a method for treating pain comprising
```

09/163,769

Page 69

administering an analysesic dosage of olanzapine or its polymorph. Olanzapine was prepd. by reaction of 2-methyl-4-amino-10H-thieno[2,3-b][1,5]-benzodiazepine with N-methylpiperazine in DMSO. Olanzapine tablets were prepd. by using a coating soln. of 10% HPMC. 132539-06-1P, Olanzapine

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(analgesic compns. contg. olanzapine)

RN 132539-06-1 CAPLUS

ΙT

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 41 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:650270 CAPLUS

DN 127:298751

TI Method for treating migraine pain

IN Shannon, Harlan E.; Womer, Daniel E.

PA Eli Lilly and Company, USA

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.CNT 1																		
	PA!	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	٥.	DATE			
ΡI	WO	9735	 582		A	 1	 1997	1002		W	0 19	 97-บ:	5447	 1	1997	0324		
		w:	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			-	-		-		•							KG,			•
															MX,			
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	UZ,	VN,
			YU,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				-		·
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
			GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
			ML,	MR,	NE,	SN,	TD,	ΤG										
	CA	2250	186		A	A.	1997	1002		C	A 19	97-22	2501	36	1997	0324		
	ΑU	9725	845		A.	1	1997	1017		A	U 19	97-2	5845		1997	324		
	CN	1219	876		Α		1999	0616		CI	N 19	97-19	94950	)	1997	0324		
	BR	9708	145		Α		1999	0727		B	R 19	97-83	145		1997	324		
	US	5929	070		Α		1999	0727		U:	S 19	97-82	2345	7	19970	0324		
	EΡ	9324	07		A:	1	1999	0804		E	P 19	97-93	1755	6	1997	0324		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	ΙE,

SI, LT, LV, FI, RO

A 19981124

NO 1998-4432 19980923

PRAI US 1996-14127 19960325

NO 9804432

WO 1997-US4471 19970324

AB The present invention provides a method for treating migraine pain comprising administering an analgesic dosage of olanzapine. Olanzapine was prepd. and a polymorphic form prepd. and characterized. Tablet formulations were given.

IT 132539-06-1P, Olanzapine

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (olanzapine compns. for treatment of migraine pain)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 42 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:632496 CAPLUS

DN 127:268052

TI Olanzapine for the treatment of insomnia

IN Van Tran, Pierre

PA Lilly, Eli, and Co., USA

SO Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

174.	PATENT NO.				KI	ND	DATE			A	PPLI	CATI	ON N	o.	DATE			
PI	ΕP	7953	30		Α	1	1997	0917		E	P 19	97-3	0153	4	1997	0307		
SE		R:	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LI,	LU,	NL,	PT,
	ZA	9701	9701899 2248758		Α		1998	0907		$\mathbf{z}_{i}$	A 19	97-1	899		1997	0305		
	CA	2248758		A	A	1997	0918		C	A 19	97-2	2487	58	1997	0307			
	WO	9733	587		A.	1	1997	0918		W	0 19:	97-U	S359	2	1997	0307		
		w:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	ŪĠ,	US,	UΖ,	YU,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,

09/163,769

```
GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
             ML, MR, NE, SN, TD, TG
     AU 9721989
                       Α1
                            19971001
                                            AU 1997-21989
                                                             19970307
     CN 1212627
                             19990331
                                            CN 1997-192796
                                                             19970307
                       Α
     BR 9708181
                       Α
                            19990727
                                            BR 1997-8181
                                                             19970307
     JP 2000506528
                       T2
                            20000530
                                            JP 1997-532707
                                                             19970307
     NO 9804190
                       Α
                            19980911
                                            NO 1998-4190
                                                             19980911
PRAI US 1996-PV13126
                      19960311
     GB 1996-6731
                      19960329
     WO 1997-US3592
                      19970307
AB
     The invention discloses the use of olanzapine for treating insomnia.
     prepn. and polymorphic form of olanzapine were given and tablets were
     prepd.
ΙT
     132539-06-1P, Olanzapine
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (olanzapine for the treatment of insomnia)
RN
     132539-06-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

```
L21
     ANSWER 43 OF 73 CAPLUS COPYRIGHT 2000 ACS
     1997:623041 CAPLUS
AN
DN
     127:244231
TI
     Method for treating substance abuse
     Beasley, Charles M., Jr.; Rasmussen, Kurt; Tollefson, Gary D.
TN
     Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Rasmussen, Kurt;
PA
     Tollefson, Gary D.
     PCT Int. Appl., 31 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO.
                                                          DATE
                     ____
                           ______
                                          -----
PΙ
                           19970918
                                          WO 1997-US3404
    WO 9733586
                      A1
                                                           19970310
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
```

AZ, BY, KG, KZ, MD, RU, TJ, TM

DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, YU, AM,

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2248738 AΑ 19970918 CA 1997-2248738 19970310 AU 9720672 19971001 AU 1997-20672 A1 19970310 CN 1213308 19990407 CN 1997-193069 Α 19970310 BR 9708037 19990727 BR 1997-8037 Α 19970310 NO 9804196 Α 19981103 NO 1998-4196 19980911 PRAI US 1996-13160 19960311 US 1996-13161 19960311 GB 1996-6615 19960329 GB 1996-6617 19960329 19970310 WO 1997-US3404 AB The invention provides a method for treating substance abuse comprising administering an effective amt. of olanzapine or pharmaceutically acceptable salt thereof to a patient in need thereof. IT 132539-06-1, Olanzapine RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (olanzapine for treating substance abuse) RN 132539-06-1 CAPLUS CN 10H-Thieno[2, 3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

L21 ANSWER 44 OF 73 CAPLUS COPYRIGHT 2000 ACS ΑN 1997:623040 CAPLUS DN 127:268044 ΤI Olanzapine for treating autism and mental retardation IN Beasley, Charles M., Jr.; Tollefson, Gary D. Eli Lilly and Company, USA; Beasley, Charles M. Jr.; Tollefson, Gary D. PA so PCT Int. Appl., 21 pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. WO 1996-US19576 19961204 19970918 PΙ WO 9733585 **A**1 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,

DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,

AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG CA 2248741 AΑ 19970918 CA 1996-2248741 19961204 AU 9711501 **A**1 19971001 AU 1997-11501 19961204 AU 709181 B2 19990826 CN 1213970 Α 19990414 CN 1996-180207 19961204 BR 9612552 Α 19990720 BR 1996-12552 19961204 EP 946179 **A**1 19991006 EP 1996-942934 19961204 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI NO 9804197 19981103 19980911 NO 1998-4197 Α PRAI US 1996-13162 19960311 WO 1996-US19576 19961204 AB The invention provides a method for treating autistic disorder and/or mental retardation comprising administering an effective amt. of olanzapine (I) to a patient in need thereof. I is preferably in Form II polymorph and orally administered. I was suspended in anhyd. EtOAc, heated to 76.degree., cooled to 25.degree., and isolated using vacuum filtration. The product was identified as Form II using x-ray powder anal. I was formulated into tablets. IT 132539-06-1P, Olanzapine RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (olanzapine for treating autism and metal retardation) RN 132539-06-1 CAPLUS CN 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 45 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:623039 CAPLUS

DN 127:268043

TI Olanzapine for treating excessive aggression

IN Beasley, Charles M., Jr.; Tran, Pierre V.

PA Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tran, Pierre V.

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

```
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                             APPLICATION NO. DATE
                     ____
                            _____
                                            ______
                                           WO 1996-US19573 19961204
ΡI
     WO 9733584
                       A1
                             19970918
         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
                             19970918
     CA 2248753
                       AA
                                             CA 1996-2248753 19961204
     AU 9712846
                        A1
                             19971001
                                             AU 1997-12846
                                                               19961204
     EP 900085
                        A1
                             19990310
                                             EP 1996-943659
                                                               19961204
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
             SI, LT, LV, FI
     CN 1213969
                       Α
                             19990414
                                             CN 1996-180206
                                                               19961204
     BR 9612549
                       Α
                             19990720
                                             BR 1996-12549
                                                               19961204
     NO 9804198
                       Α
                             19981102
                                             NO 1998-4198
                                                               19980911
PRAI US 1996-13127
                       19960311
     WO 1996-US19573 19961204
     The invention provides a method for treating extreme aggression
comprising
     administering an effective amt. of olanzapine to a patient in need
     thereof.
TΤ
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); PEP (Physical,
     engineering or chemical process); PRP (Properties); THU (Therapeutic
use);
     BIOL (Biological study); PROC (Process); USES (Uses)
        (crystal polymorph II; olanzapine for treating excessive aggression)
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L21 ANSWER 46 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:623032 CAPLUS

DN 127:283397

TI Pharmaceutical compositions for treating bipolar disorder containing olanzapine

```
IN
     Beasley, Charles M., Jr.; Tollefson, Gary D.
PA
     Eli Lilly and Company, USA; Beasley, Charles M., Jr.; Tollefson, Gary D.
SO
     PCT Int. Appl., 21 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO.
                                                               DATE
                       ____
                             -----
                                              ______
PΙ
                              19970918
                                             WO 1996-US19575 19961204
     WO 9733577
                        A1
              AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         W:
              DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
              RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
              AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
              MR, NE, SN, TD, TG
     AU 9713307
                        A1
                              19971001
                                              AU 1997-13307
                                                                19961204
     EP 889725
                        A1
                              19990113
                                              EP 1996-944772
                                                                19961204
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
              SI, LT, LV, FI
     CN 1213966
                              19990414
                                              CN 1996-180183
                                                                19961204
                        Α
     BR 9612548
                        Α
                              19990720
                                              BR 1996-12548
                                                                19961204
     NO 9804189
                        Α
                              19980911
                                              NO 1998-4189
                                                                19980911
PRAI US 1996-13159
                       19960311
     WO 1996-US19575 19961204
AB
     A method for treating bipolar disorder comprising administering an
     effective amt. of olanzapine (I) to a patient in need thereof. Addnl.,
     the present invention provides a method for treating bipolar disorder,
     major depressive episode. I was prepd. by the reaction of
     2-methyl-4-amino-10H-thieno[2,3-b][1,5]benzodiazepine hydrochloride with
     N-methylpiperazine in DMSO. Prepn. of coated pharmaceutical tablets
     contq. I were disclosed.
IT
     132539-06-1P, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (pharmaceutical compns. for treating bipolar disorder contq.
        olanzapine)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L21 ANSWER 47 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:594839 CAPLUS

DN 127:257606

TI Assessment of the responsiveness of individuals to modulators of the  $5-HT^2$ 

receptors, especially the  $5-\mathrm{HT}2\mathrm{A}$  receptor, by detection of receptor allele

DNA

IN Kerwin, Robert; Collier, David; Roberts, Gareth Wyn

PA Smithkline Beecham PLC, UK; Kerwin, Robert; Collier, David; Roberts, Gareth Wyn

SO PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAIN.	CIAI	т.																
	PAT	CENT 1	ΝО.		KI	ND	DATE			A	PPLI	CATI	ON No	ο.	DATE			
PI	WO	9732	037		Α	1	1997	0904		W	0 19:	97-E	P993		1997	0226		
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	ΙL,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,
			PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,
			VN,	YU,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM					
		RW:	GH,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
			GR,	ΙE,	ΙŤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
			ML,	MR,	ΝE,	SN,	TD,	TG										
	ΑU	9718	789		A	1	1997	0916		Α	J 199	97-1	8789		1997	0226		
	JP	2000	50600	09	T	2	2000	0523		J	P 199	97-5	3062:	1	1997	0226		
PRAI	GB	1996	-446	5	199	9603	01											
	WO	1997-	-EP99	93	199	9702	26											

AB A method is disclosed for use in assessing, in a subject suffering from a condition which may be treated with a 5-HT2 modulator, the likelihood whether the subject will be responsive or nonresponsive to treatment with a 5-HT2 modulator. The method comprises detecting the presence or absence

of DNA encoding the Tyr452 and/or His452 alleles of the 5-HT2A gene in a biol. sample obtained from the subject. Genotyping for His452Tyr polymorphism was carried out using blood samples from individuals diagnosed as suffering from schizophrenia and being treated with clozapine. The individuals were also sep. assessed for responsiveness to clozapine treatment.

ANSWER 48 OF 73 CAPLUS COPYRIGHT 2000 ACS L21 AN 1997:511843 CAPLUS 127:117369 DN Method of predicting a subjects response to neuroleptic agents TТ IN Royston, Maureen Claire Smithkline Beecham Plc, UK; Royston, Maureen Claire PA PCT Int. Appl., 13 pp. SO CODEN: PIXXD2 DTPatent LА English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----PI WO 9721833 **A**1 19970619 WO 1996-EP5734 19961211 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MB, NE, SN, TD, TG MR, NE, SN, TD, TG AU 9713762 19970703 AU 1997-13762 19961211 A1 PRAI GB 1995-25481 19951213 WO 1996-EP5734 19961211

AB A method of assessing in a subject the likelihood whether said subject will be non-responsive or responsive to treatment with a drug the primary mode of action of which is via a process of altered synaptic activity, the

method comprising detecting the presence or absence of DNA comprising the E2 allele of the ApoE gene, or of protein expressed by said DNA, in a biol. sample obtained from said subject. The method is exemplified with

09/163,769

an atypical neuroleptic agent, i.e. clozapine.
IT 132539-06-1, Olanzapine
 RL: BAC (Biological activity or effector, except adverse); THU
 (Therapeutic use); BIOL (Biological study); USES (Uses)
 (drug therapy of schizophrenia and detection of E2 allele of the ApoE
 gene for prediction of therapeutic outcome)
RN 132539-06-1 CAPLUS
CN 10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl) (9CI) (CA INDEX NAME)

L21 ANSWER 49 OF 73 CAPLUS COPYRIGHT 2000 ACS

```
AN
     1997:503273 CAPLUS
     127:126642
DN
TΙ
     Method for treating depression
IN
     Tollefson, Gary D.
     Eli Lilly and Company, USA; Tollefson, Gary D.
PA
SO
     PCT Int. Appl., 11 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                               DATE
                                             ______
PΙ
     WO 9723220
                        A1
                              19970703
                                             WO 1996-US19574
                                                               19961204
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
     CA 2241153
                             19970703
                                             CA 1996-2241153 19961204
                        AA
     AU 9712847
                        A1
                             19970717
                                             AU 1997-12847
                                                                19961204
     AU 705834
                        В2
                             19990603
     EP 868185
                        Α1
                             19981007
                                             EP 1996-943660
                                                               19961204
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
     CN 1205637
                             19990120
                        A.
                                            CN 1996-199221
                                                                19961204
     US 5958921
                        Α
                             19990928
                                             US 1998-91539
                                                                19980618
     NO 9802911
                             19980622
                        Α
                                             NO 1998-2911
                                                                19980622
PRAI US 1995-9173
                       19951222
```

WO 1996-US19574 19961204

AB The invention provides a method for treating depressive signs and symptoms

comprising administering an effective amt. of 2-methyl-4-(4-methyl-1piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine to a patient in need thereof.

IT 132539-06-1

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. and antidepressant activity of

methyl (methylpiperazinyl) thienob

enzodiazepine and tablet formulation)

132539-06-1 CAPLUS RN

10H-Thieno[2,3-b][1,5]benzodiazepine, CN

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 50 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:503266 CAPLUS

DN 127:117375

ΤI 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepinefor treating fungal dermatitis

IN Tran, Pierre V.

Eli Lilly and Company, USA; Tran, Pierre V. PA

SO PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DTPatent

LΑ English

FAN.	CNT	1																
	PAT	CENT	NO.		KI	ND	DATE			A.	PPLI	CATI	N NC	o. :	DATE			
										_								
PI	WO	9723	221		Α	1	1997	0703		W	o 19	96-U	3200	48	1996:	1216		
		w:	AL,	AM,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CN,	CU,	CZ,	EE,	GE,	HU,
			IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LV,	MD,	MG,
			MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	RO,	RU,	SD,	SG,	SI,	SK,	ТJ,	TM,	TR,
			TT,	UA,	UG,	US,	UZ,	VN,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,
			NE,	SN,	TD,	ΤG												
	CA	2240	836		A	Ą	1997	0703		CZ	A 19	96-2	2408	36	1996:	1216		
	AU	9713	353		A	1	1997	0717		A	J 19	97-1	3353		1996:	1216		
	JP	2000	5023	46	T	2	2000	0229		J	P 19	97-5	2375	5	1996	1216		
	EΡ	7838	90		A	1	1997	0716		E	P 19:	96-3	0920	1	1996	1217		

R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,

SE

PRAI US 1995-8987 19951221

WO 1996-US20048 19961216

AB A method for treating fungal dermatitis comprises administering an effective amt. of 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (I) to a patient in need thereof. The effectiveness

of I was shown in a clin. trial. Prepn. of I is described. A tablet formulation is included.

IT 132539-06-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(thienobenzodiazepine deriv. for fungal dermatitis treatment)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 51 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:443204 CAPLUS

DN 127:70845

TI Antiemetic pharmaceutical compositions containing olanzapine

IN Van Tran, Pierre

PA Lilly, Eli, and Co., USA

SO Brit. UK Pat. Appl., 19 pp.

CODEN: BAXXDU

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

GB 2305860 A1 19970423 GB 1996-6618 19960329

AB Antiemetic pharmaceutical compns. contg. olanzapine (I) are useful in the treatment of emesis, particularly related to chemotherapy. Thus, 270 g sample of tech. grade I (prepn. given) was suspended in 2.7 L anhyd. Et acetate and heated at 76.degree. for 30 min. The mixt was allowed to

cool

PΙ

to 25.degree. and the resulting product was isolated and identified as form II using X-ray powder anal. Formulation of I tablets are disclosed.

IT 132539-06-1P, Olanzapine

09/163,769

```
ANSWER 52 OF 73 CAPLUS COPYRIGHT 2000 ACS
AN
     1997:403057 CAPLUS
DN
     127:13469
ΤI
     Olanzapine for treatment of obsessive-compulsive disorder
     Beasley, Charles Merritt, Jr.; Tollefson, Gary Dennis
IN
     Lilly, Eli, and Co., USA
PA
SO
     Brit. UK Pat. Appl., 18 pp.
     CODEN: BAXXDU
DΤ
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                            DATE
ΡI
                            19970423
                                                            19960329
     GB 2305859
                       A1
                                           GB 1996-6614
     Olanzapine is useful in the treatment of obsessive-compulsive disorder.
AΒ
     The olanzapine may be the form II olanzapine polymorph. Prepn. of the
     polymorph is described. Prepn. of a tablet formulation is also included.
IT
     132539-06-1, Olanzapine
     RL: BAC (Biological activity or effector, except adverse); RCT
(Reactant);
     THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (olanzapine for treatment of obsessive-compulsive disorder)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L21 ANSWER 53 OF 73 CAPLUS COPYRIGHT 2000 ACS 1997:400460 CAPLUS AN 127:70833 DN ΤI Solvate of olanzapine IN Larsen, Samuel D. Eli Lilly and Company, USA; Lilly Industries Ltd. PA SO U.S., 8 pp. CODEN: USXXAM DTPatent LΑ English FAN.CNT 1 KIND PATENT NO. DATE APPLICATION NO. PΙ US 5637584 Α 19970610 US 1995-410263

PI US 5637584 A 19970610 US 1995-410263 19950324

AB A methylene chloride solvate of 2-methyl-4-(4-methyl-1-piperazinyl)-10Hthieno[2,3-b][1,5]benzodiazepine (I) which is useful for the desired
anhyd. form is provided. Thus, 5.0 g of tech. grade I was suspended in

DATE

09/163,769

Page 83

methylene chloride and heated to about 30.degree. for 30 min, then chilled  $\,$ 

to 5.degree. and the product thus obtained was isolated by vacuum filtration.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (solvate of olanzapine)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 54 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:381011 CAPLUS

DN 126:347316

TI Pharmaceutical compositions of levo-enantiomers of medetomidine derivatives and their use

IN Aakerman, Karl E. O.; Jansson, Christian; Kukkonen, Jyrki; Savola, Juha-Matti; Wurster, Siegfried; Cockcroft, Victor

PA Orion-Yhtymae Oy, Finland; Aakerman, Karl E. O.; Jansson, Christian; Kukkonen, Jyrki; Savola, Juha-Matti; Wurster, Siegfried; Cockcroft, Victor

SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L'HIA.	CIVI	1																
	PA	rent :	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0.	DATE			
										-								
ΡI	WO	9715	302		Α	1	1997	0501		W	0 19	96-F	I560		1996	1023		
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,
			EE,	ES,	FI,	GΒ,	GE,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	KZ,	LC,	LK,
			LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,
			RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UΑ,	UG,	US,	UΖ,	VN,	AM,
			ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM								
		RW:	KE,	LS,	MW,	SD,	SZ,	UG,	ΑT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI				
	CA	2232	336		$\mathbf{A}$	A	1997	0501		C	A 19	96-2	2323	36	1996	1023		
	ΑU	9673	019		A	1	1997	0515		A	U 19:	96-7	3019		1996	1023		
	AU	7077	28		B	2	1999	0715										
	EP	8583	38		A	1	1998	0819		E	P 19	96-9	3484	7	1996	1023		
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,

IE, SI, LT, LV, FI

CN 1200035 19981125 А CN 1996-197793 19961023 JP 2000503298 Т2 20000321 JP 1997-516319 19961023 NO 9801799 19980422 NO 1998-1799 Α 19980422 US 5994384 19991130 US 1998-43107 Α 19980916

PRAI GB 1995-21680 19951023 WO 1996-FI560 19961023

OS MARPAT 126:347316

AB The levo-isomers of certain imidazole derivs. (Markush structure given), particularly medetomidine, have been found to be inverse agonists of adrenergic .alpha.-2 receptors and are therefore useful in the prevention or treatment of conditions assocd. with overexpression or hypersensitization of adrenergic .alpha.-2 receptors such as obesity, a withdrawal symptom to an adrenergic .alpha.-2 receptor agonist, a neurol. disorder, multiple system atrophy, diabetes mellitus, benign prostatic hyperplasia and drug-induced sensitization of adrenergic .alpha.-2 receptors. The pharmaceutical compn. is preferably transdermal. Levo-medetomidine (I) had opposite effect compared to adrenergic .alpha.-2

receptor agonists in the concept of coupling to the signal mols. CA2+ and cAMP and was able to reduce the activity of adrenergic .alpha.-2 receptors  $\frac{1}{2}$ 

in human erythroleukemia. Various formulations of transdermal I.HCl is disclosed.

IT **132539-06-1**, Olanzapine

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical compns. of levo-enantiomers of medetomidine derivs.

and

their use)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

- L21 ANSWER 55 OF 73 CAPLUS COPYRIGHT 2000 ACS
- AN 1997:332391 CAPLUS
- DN 126:308810
- TI Pharmaceutical compositions for treating a tic disorder
- IN Beasley, Charles M., Jr
- PA Lilly, Eli, and Co., USA; Beasley, Charles M., Jr.
- SO PCT Int. Appl., 25 pp.

```
CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                                            APPLICATION NO. DATE
PΙ
     WO 9711700
                       A1
                             19970403
                                            WO 1996-US14090 19960827
             AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,
             EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR,
             LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM
                                            CA 1996-2232559 19960827
     CA 2232559
                       AA
                             19970403
                                            AU 1996-70131 , 19960827
EP 1996-931453 , 19960827
     AU 9670131
                             19970417
                       A1
     EP 852496
                             19980715
                       A1
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
FI
     JP 11512705
                             19991102
                                            JP 1996-513436
                                                             19960827
                       Т2
PRAI US 1995-5176
                      19950929
     WO 1996-US14090 19960827
     A pharmaceutical compn. for treating a tic disorder comprise
administering
     an effective amt. of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-
     b][1,5]benzodiazepine (prepn. given) (I). A tablet contained I 10.0,
     magnesium stearate 0.9, microcryst. cellulose 75.0, povidone 25.0, and
     starch 204.1 mg.
IT
     132539-06-1P
     RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); USES (Uses)
        (pharmaceutical compns. for treating tic disorder)
RN
     132539-06-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L21 ANSWER 56 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:324780 CAPLUS

DN 127:5106

TI Preparation of 2-methylthienobenzodiazepine as central nervous system

agent.

IN Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.

PA Lilly Industries Ltd., UK

U.S., 11 pp. Cont.-in-part of U.S. Ser. No. 44,844, abandoned. SO CODEN: USXXAM

DT Patent

LΑ English

FAN.	CNT	6					
	PAT	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE
PI	US	5627178	Α	19970506	US	1995-387997	19950213
	US	5229382	A	19930720	US	1992-890348	19920522
	US	5817655	Α	19981006	US	1996-748292	19961113
	US	6008216	A	19991228	US	1998-122294	19980724
PRAI	US	1991-690143	19910	423			
	US	1992-890348	19920	522			
	US	1993-44844	19930	408			
	GB	1990-9229	19900	425			
	US	1995-387997	19950	213			
	US	1996-748292	19961	113			
O.T.							

GI

Ι

AB 2-Methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of disorders of the central nervous system. Compd. I is used in the treatment of schizophrenia, catatonic, delusional disorder, brief reactive psychosis, manic depression, anxiety disorder, post-traumatic stress disorder, obsessive compulsive disorder, delusions, hallucinations, and disorganized behavior. Thus, 4.3g of 4-amino-2-methyl-10H-thieno[2,3-b]benzodiazepine hydrochloride (prepn. given) was reluxed in a mixt. of 15 mL of N-methylpiperazine, DMSO, and toluene for 20 h to give 1.65g I. Formulations contg. I were described.

IT 132539-06-1P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-methyl-thieno-benzodiazepine as central nervous system agent)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

```
L21 ANSWER 57 OF 73 CAPLUS COPYRIGHT 2000 ACS
```

AN 1997:296931 CAPLUS

DN 126:282829

TI Polyurethane hydrogel drug reservoirs for use in transdermal drug delivery

systems

IN Chen, Tung-Fen; Chiang, Chia-Ming; Jona, Janan; Joshi, Priti; Ramdas, Asha

PA Cygnus, Inc., USA; Chen, Tung-Fen; Chiang, Chia-Ming; Jona, Janan; Joshi, Priti; Ramdas, Asha

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

```
KIND DATE
      PATENT NO.
                                                      APPLICATION NO.
                                                                            DATE
                           ____
PΙ
      WO 9709970
                            A1
                                   19970320
                                                      WO 1996-US14739 19960913
           W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
                DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
                IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG
      AU 9671097
                            A1
                                   19970401
                                                    AU 1996-71097
                                                                            19960913
                                  19990414
      EP 907359
                            A1
                                                     EP 1996-932225
                                                                            19960913
          R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, PT, IE, FI
PRAI US 1995-528105
                           19950914
      US 1995-581128
                           19951229
      WO 1996-US14739
                           19960913
```

AB High capacity drug reservoirs are provided for incorporation into transdermal drug delivery systems. The drug reservoirs are hydrogels formulated from polyurethanes crosslinked with diisocyanate crosslinking agents or cured with radiation in the presence of a photoinitiator. Drug loading as high as 65 to 70 wt.% or higher can be achieved by absorbing drug formulation into the reservoir after hydrogel synthesis. Methods

for making and using transdermal systems contg. such reservoirs are provided as well. E.g., a hydrogel compn. contains olanzapine, Hypol PreMA G-50, Me laurate lauryl lactate and 1,2-butanediol.

IT 132539-06-1, Olanzapine

09/163,769 Page 88

L21

```
1997:293899 CAPLUS
AN
DN
     126:268535
ΤI
     Transdermal administration of olanzapine
IN
     Jona, Janan; Joshi, Priti; Ramdas, Asha
PΑ
     Cygnus, Inc., USA
SO
     PCT Int. Appl., 46 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN. CNT 1
     PATENT NO.
                                          APPLICATION NO. DATE
                     KIND DATE
                     ____
                           _____
                                          _____
PΙ
    WO 9709985
                     A1 19970320
                                          WO 1996-US14713 19960911
            AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI
    AU 9670705
                           19970401
                                          AU 1996-70705
                      A1
PRAI US 1995-528106
                      19950914
    WO 1996-US14713 19960911
AB
     Transdermal administration of olanzapine and pharmaceutically acceptable
     acid addn. salts thereof is described. The method involves treating an
```

ANSWER 58 OF 73 CAPLUS COPYRIGHT 2000 ACS

anxiety states, particularly those afflicted with schizophrenia, by administering olanzapine or a salt thereof through the skin or mucosal tissue, for a time period and at an administration rate effective to alleviate the symptoms of the disease. The drug is administered along

individual suffering from or susceptible to psychosis, acute mania or

09/163,769 Page 89

with a skin permeation enhancer selected from C2-6-alkanediols, fatty esters, fatty acids, and fatty alcs. Olanzapine was dissolved in a vehicle contg. 1,2-butanediol 90 and propylene glycol monolaurate 10 %

and

applied to human cadaver skin using a Franz diffusion cell to demonstrate effective skin flux.

132539-06-1, Olanzapine IT

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (transdermal administration of olanzapine)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 59 OF 73 CAPLUS COPYRIGHT 2000 ACS

ΑN 1997:293898 CAPLUS

DN 126:268534

ΤI High capacity, superabsorbent drug reservoirs for use in transdermal drug delivery systems

Chen, Tung-Fen; Chiang, Chia-Ming; Jona, Janan; Joshi, Priti; Ramdas, IN Asha

Cygnus, Inc., USA; Chen, Tung-Fen; Chiang, Chia-Ming; Jona, Janan; Joshi, PA Priti; Ramdas, Asha

SO PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DTPatent

LΑ English

FAN.	CNT	1																
	PA	TENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0.	DATE			
PI	WO	9709	971		A	2	1997	0320		W	0 19	96-U	S147	84	1996	0913		
		W:	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GΕ,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
			LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	TJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN,
			AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
		RW:	KE,	LS,	MW,	SD,	SZ,	ŪG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
			ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG					
	AU	9672	388		A	1	1997	0401		A	U 19	96-7	2388		1996	0913		
PRAI	US	1995	-528	655	19	9509	14											
	US	1995	-582	843	19	9512	29											
	WO	1996	-US1	4784	19	9609	13											

AB High capacity drug reservoirs are provided for incorporation into transdermal drug delivery systems. The drug reservoirs are comprised of a

superabsorbent material, typically a crosslinked polymer, which is capable

of absorbing an amt. of drug formulation corresponding to at least 15 g formulation per g of material. Methods for making and using transdermal systems contg. such reservoirs are provided as well. Olanzapine was dissolved in a vehicle contg. lauric acid 10, Me laurate 45, and 1,2-butanediol 45 % and absorbed onto a highly absorbent maleic anhydride-isobutylene copolymer film. The samples were cut and applied

human cadaver skin using a Franz diffusion cell to demonstrate effective skin fluxes.

IT 132539-06-1, Olanzapine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (superabsorbent drug reservoirs for use in transdermal drug delivery systems)

RN 132539-06-1 CAPLUS

t.o

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 60 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:169159 CAPLUS

DN 126:195254

TI Use of .alpha.2-adrenergic drugs to prevent adverse effects of NMDA antagonist- or schizophrenia-associated NMDA receptor hypofunction (NRH)

IN Olney, John W.; Farber, Nuri B.

PA Washington University, USA

SO U.S., 19 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5605911 A 19970225 US 1995-381334 19950131

AB Methods and compns. are disclosed for treating or preventing adverse CNS effects produced by NMDA receptor hypofunction (NRH), including hypofunction induced by NMDA antagonist drugs, and hypofunction occurring as a causative or aggravating factor in schizophrenia. One method of

this

invention comprises administering an .alpha.2-adrenergic receptor agonist drug along with an NMDA antagonist drug. The NMDA antagonist drug exerts a primary benefit in reducing excitotoxic brain damage, alleviating neuropathic pain, or preventing or avoiding tolerance or addiction to various types of drugs. The .alpha.2 agonist drug acts as a secondary or "safener" drug, to prevent the neurotoxic side effects that would be caused by the NMDA antagonist in the absence of the safener drug.

method disclosed herein involves the use of an .alpha.2 agonist drug, by itself, to combat a different and naturally-occurring form of NMDA receptor hypofunction which occurs as a causative or aggravating mechanism

in people suffering from schizophrenia. Although .alpha.2 agonists are usually not effective in treating long-standing cases of chronic schizophrenia, where pathol. changes in the brain have already reached or approached maximal levels, .alpha.2 agonists can be administered early in the illness, such as at the first signs of schizophrenic illness, and continuously or intermittently thereafter to prevent the development or worsening of pathol. brain changes.

IT 132539-06-1, Olanzapine

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (antipsychotic drug effect in protection against NMDA receptor hypofunction)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 61 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1997:169158 CAPLUS

DN 126:242879

TI Olanzapine for the treatment of psychological conditions

IN Beasley, Charles M., Jr.; Chakrabarti, Jiban K.; Hotten, Terrence M.; Tupper, David E.

PA Eli Lilly and Company, USA; Lilly Industries Ltd.

SO U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 44,844, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 6

PATENT NO.

KIND DATE

APPLICATION NO. DATE

ΡI	US 5605897	Δ	19970225	119 1	1995-387498	19950213
	US 5229382		19930720		1992-890348	
	US 5817656				L996-748293	
	บร 5817657			US 1	L996-748294	19961113
PRAI	US 1991-690143	19910	423			
	US 1992-890348	19920	522			
	US 1993-44844	19930	408			
	GB 1990-9229	19900	425			
	US 1995-387498					
AB	Olanzapine (I) o			reof is	of particula	ruse in the
110						of disorders of
_	the central nerv	ous sy	stem. I is	an antag	gonist of dopa	mine at D-1 and
D-2						
	receptors and in	addn.	has antimus	carinic	anticholiner	ic properties and
	antagonist activ	itv at	5HT-2 recep	tor site	es and at nora	drenergic
	.alphareceptor					
	neuroleptic with					•
						g. I are provided.
	Clin. studies sh	owed s	uccessiul re	sults fo	or treatment o	of schizophrenic
	patients.					
IT	<b>132539-06-1P</b> , Ol	anzapi	ne			

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(olanzapine for treatment of CNS disorders)

RN 132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

```
L21 ANSWER 62 OF 73 CAPLUS COPYRIGHT 2000 ACS
     1997:90507 CAPLUS
AN
DN
     126:108941
TI
     Olanzapine for treating anorexia
IN
     Beasley, Charles M., Jr.
PΑ
     Lilly, Eli, and Co., USA; Beasley, Charles M., Jr.
SO
     PCT Int. Appl., 28 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
```

PATENT NO. DATE KIND APPLICATION NO. DATE ΡI WO 9638152 19961205 WO 1996-US7467 19960523 **A**1 AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN CA 1996-2222073 19960523 CA 2222073 AΑ 19961205 AU 1996-58725 AU 9658725 19961218 Α1 19960523 EP 831835 19980401 EP 1996-920403 **A**1 19960523 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI JP 08325151 19961210 JP 1996-136441 A2 19960530 PRAI US 1995-457249 19950601 WO 1996-US7467 19960523 The invention provides a method for treating a clin. significant decrease in appetite comprising administering a pharmaceutically effective amt. of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-b][1,5]benzodiazepine (olanzapine). X-ray powder diffraction pattern of substantially pure cryst. anhyd. form of olanzapine is provided. A tablet contg. 7.5 mg olanzapine was formulated. IT 132539-06-1, Olanzapine RL: BAC (Biological activity or effector, except adverse); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (olanzapine for treating anorexia) RN 132539-06-1 CAPLUS 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(CA INDEX NAME) (9CI)

- L21 ANSWER 63 OF 73 CAPLUS COPYRIGHT 2000 ACS
- AN 1,996:713012 CAPLUS
- DN 125:317310
- TI Method for determining the responsiveness of individuals to 5-HT2 receptor-modulating agents
- IN Kerwin, Robert; Collier, David; Roberts, Gareth Wyn
- PA Smithkline Beecham Plc, UK
- SO PCT Int. Appl., 15 pp.
  - CODEN: PIXXD2
- DT Patent
- LA English

```
FAN.CNT 1
                     KIND DATE
     PATENT NO.
                                         APPLICATION NO. DATE
                                          _____
ΡI
     WO 9631621
                     A2
                           19961010
                                         WO 1996-EP1437 19960401
     WO 9631621
                     АЗ
                           19961205
        W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
            ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
            LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
     AU 9654991
                     A1 19961023
                                    AU 1996-54991 19960401
                                                         19960401
     JP 11503018
                      Т2
                           19990323
                                          JP 1996-529970
PRAI GB 1995-7230
                     19950407
    WO 1996-EP1437
                     19960401
AB
    A method is disclosed for assessing whether a subject is likely to be
     responsive to treatment with a therapeutic agent which acts at a 5-HT2
     receptor. The methodol. involves detection of the presence or absence of
     DNA encoding the S68 allele and/or the C68 allele of the 5-HT2C gene.
    132539-06-1, Olanzapine
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (C68/S68 allele of 5-HT2C gene detection in 5-HT2 receptor-modulating
       agent responsiveness detn. for humans treatable with 5-HT2
       receptor-modulating agents)
    132539-06-1 CAPLUS
RN
    10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

```
1996:689366 CAPLUS
AN
DN
     125:309062
ΤI
     Olanzapine for treatment of dyskinesias
IN
     Beasley, Charles Merrit Jr
PA
     Lilly, Eli, and Co., USA
SO
     Eur. Pat. Appl., 25 pp.
     CODEN: EPXXDW
DT
     Patent
LA
    English
FAN.CNT 1
                                         APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
```

L21 ANSWER 64 OF 73 CAPLUS COPYRIGHT 2000 ACS

----

09/163,769 Page 95

```
PΙ
     EP 738514
                         A1
                              19961023
                                              EP 1996-302711
                                                                19960418
          R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
     US 5776928
                         Α
                              19980707
                                               US 1995-422177
                                                                 19950421
     WO 9638151
                              19961205
                                              WO 1995-US6859
                         A1
                                                                 19950530
              AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD,
              MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ,
              TM, TT
          RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
              LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
              SN, TD, TG
     CA 2219902
                         AΑ
                              19961205
                                               CA 1995-2219902 19950530
     AU 9526936
                         A1
                              19961218
                                              AU 1995-26936
                                                                 19950530
     AU 707858
                         B2
                              19990722
     EP 828494
                         A1
                              19980318
                                              EP 1995-922148
                                                                 19950530
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
              SI, LT, LV
     CN 1185108
                              19980617
                                               CN 1995-197876
                                                                 19950530
                         А
     HU 77907
                         A2
                              19981028
                                              HU 1998-1173
                                                                 19950530
     JP 11506096
                         Т2
                              19990602
                                              JP 1995-536420
                                                                 19950530
     WO 9632948
                        A1
                              19961024
                                              WO 1996-US5390
                                                                 19960418
             AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX,
         W:
              NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US,
              UZ, VN
         RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
              NE, SN, TD, TG
     CA 2218062
                        AΑ
                              19961024
                                              CA 1996-2218062
                                                                 19960418
     AU 9655555
                         A1
                              19961107
                                              AU 1996-55555
                                                                 19960418
     JP 11504014
                         T2
                              19990406
                                              JP 1996-531914
                                                                 19960418
     NO 9704766
                        Α
                              19971209
                                              NO 1997-4766
                                                                 19971015
     FI 9703987
                        Α
                              19971017
                                              FI 1997-3987
                                                                 19971017
PRAI US 1995-422177
                        19950421
     WO 1995-US6859
                        19950530
     WO 1996-US5390
                       19960418
     Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-
AB
     b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt
     thereof, for the manuf. of a medicament for treating a dyskinesia, is
     disclosed. Oral and injection formulations are provided.
IT
     132539-06-1P, Olanzapine
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (olanzapine for treatment of dyskinesias)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

Me

```
Me
L21 ANSWER 65 OF 73 CAPLUS COPYRIGHT 2000 ACS
AN
     1996:679179 CAPLUS
DN
     125:309063
ΤI
     Olanzapine for treatment of nicotine withdrawal syndromes
     Rasmussen, Kurt
IN
PA
     Lilly, Eli, and Co., USA
SO
     Eur. Pat. Appl., 21 pp.
     CODEN: EPXXDW
DT
     Patent
     English
LA
FAN.CNT 1
                      KIND DATE
                                          APPLICATION NO. DATE
     PATENT NO.
                                           ______
PΙ
    EP 738515
                      A1
                            19961023
                                          EP 1996-302712
                                                            19960418
        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
    US 5696115
                            19971209
                                           US 1995-422202
                      Α
                                                            19950421
    WO 9632947
                      A1
                            19961024
                                          WO 1996-US5379
                                                            19960418
            AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP,
             KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US,
            UZ, VN
        RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
            NE, SN, TD, TG
     CA 2218019
                            19961024
                                           CA 1996-2218019 19960418
                      AA
    AU 9655547
                                           AU 1996-55547
                      Α1
                            19961107
                                                            19960418
     JP 11504012
                      Т2
                            19990406
                                           JP 1996-531909
                                                            19960418
PRAI US 1995-422202
                      19950421
    WO 1996-US5379
                     19960418
AΒ
    Use of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno[2,3-
    b][1,5]benzodiazepine (olanzapine) or a pharmaceutically acceptable salt
     thereof, for the manuf. of a medicament for treating a condition
resulting
     from the cessation and withdrawal from the use of nicotine, is disclosed.
     Formulations contg. olanzapine for oral and i.m. administration, are
    provided.
IT
    132539-06-1P, Olanzapine
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
```

(olanzapine for treatment of nicotine withdrawal syndromes)

study); PREP (Preparation); USES (Uses)

10H-Thieno[2,3-b][1,5]benzodiazepine,

132539-06-1 CAPLUS

2-methyl-4-(4-methyl-1-piperazinyl)-

RN

CN

(9CI) (CA INDEX NAME)

RN

```
L21
    ANSWER 66 OF 73 CAPLUS COPYRIGHT 2000 ACS
     1996:660927 CAPLUS
AN
     125:284961
DN
TI
     Granule formulation for olanzapine
IN
     Lange, Hans Joerg
PA
     Lilly, Eli, and Co., USA
SO
     Eur. Pat. Appl., 11 pp.
     CODEN: EPXXDW
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
                                           _____
PΙ
     EP 733368
                            19960925
                                          EP 1996-301998
                                                            19960322
                      A1
        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
PRAI US 1995-410265
                      19950324
     US 1995-426343
                      19950421
AB
     The invention provides a pharmaceutically elegant granule formulation of
     olanzapine and a process for providing a pharmaceutically acceptable liq.
     formulation of olanzapine. The solid granule formulation comprises
     olanzapine as an active ingredient, mannitol, hydroxypropyl Me cellulose,
     and a pharmaceutically acceptable surfactant, provided that the size of
     the granules is such that not more than 5% are greater than 500 .mu.m and
     not more than 10% are less than 75 .mu.m. Granules were prepd. and
     packaged in a sachet to have ingredients of olanzapine 2.5, D-mannitol
     234.97, hydroxypropyl Me cellulose 12.5, and Polysorbate 20 0.028 mg.
The
     granules can be dissolved in an acidic mineral water or juice.
IT
     132539-06-1P, Olanzapine
     RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
```

(granule formulation for olanzapine)

10H-Thieno[2,3-b][1,5]benzodiazepine,

132539-06-1 CAPLUS

2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME)

```
L21 ANSWER 67 OF 73 CAPLUS COPYRIGHT 2000 ACS
ΑN
     1996:660926 CAPLUS
DN
     125:284960
ΤI
     Oral olanzapine formulation
     Cochran, George Randall; Morris, Tommy Clifford
IN
PA
     Lilly, Eli, and Co., USA
SO
     Eur. Pat. Appl., 13 pp.
     CODEN: EPXXDW
\mathbf{DT}
     Patent
LА
     English
FAN. CNT 2
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                                DATE
                      ----
                             _____
                                             _____
ΡI
     EP 733367
                       Α1
                              19960925
                                             EP 1996-301997
                                                                19960322
         R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
                             19961003
                                             WO 1996-US3918
     WO 9629995
                        A1
                                                                19960322
             AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI
         RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
             NE, SN, TD, TG
     CA 2216372
                             19961003
                                             CA 1996-2216372 19960322
                        AΑ
     AU 9654280
                                             AU 1996-54280
                        A1
                             19961016
                                                                19960322
     AU 696601
                        B2
                             19980917
                                             GB 1997-19817
     GB 2313783
                        A1
                             19971210
                                                                19960322
     GB 2313783
                        B2
                             19981118
     DE 19681287
                        Т
                             19980319
                                             DE 1996-19681287 19960322
     CN 1179102
                        Α
                             19980415
                                             CN 1996-192778
                                                                19960322
     BR 9607791
                        Α
                             19980707
                                             BR 1996-7791
                                                                19960322
     AT 9609022
                        Α
                             19990215
                                             AT 1996-9022
                                                                19960322
     AT 405606
                        В
                             19991025
                        T2
                                             JP 1996-529533
                                                                19960322
     JP 11502848
                             19990309
     SE 9703206
                       А
                             19970905
                                             SE 1997-3206
                                                                19970905
     LT 4350
                        В
                                             LT 1997-149
                             19980525
                                                                19970916
     FI 9703749
                       Α
                                             FI 1997-3749
                             19970922
                                                                19970922
     NO 9704363
                       Α
                             19971117
                                             NO 1997-4363
                                                                19970922
     DK 9701090
                       Α
                                             DK 1997-1090
                             19971112
                                                                19970923
     LV 11983
                        В
                             19980720
                                             LV 1997-199
                                                                19971014
PRAI US 1995-410465
                       19950324
     WO 1996-US3918
                       19960322
```

AB The invention provides a pharmaceutically elegant solid oral formulation

09/163,769

Page 99

of olanzapine and a process for making such formulation. The formulation comprises olanzapine as an active ingredient intimately mixed with a bulking agent, binder, disintegrant, and a lubricant; wherein such solid oral formulation is coated with a polymer selected from the group consisting of hydroxypropyl Me cellulose, sodium CM-cellulose, hydroxypropyl cellulose, polyvinylpyrrolidone, dimethylaminoethyl methacrylate-Me acrylate copolymer, Et acrylate-Me methacrylate copolymer,

Me cellulose, and Et cellulose. A tablet contained olanzapine 1, lactose 67.43, hydroxypropyl cellulose 3.4, Crospovidone 4.25, microcryst. cellulose 8.5, Mg stearate 0.42, hydroxypropyl Me cellulose (as subcoating

agent) 1.7, color mixt. (as coating agent) 3.47 mg/tablet, Carnauba wax (as polishing agent) trace, and edible Blue ink (for imprinting) trace.

IT 132539-06-1P, Olanzapine

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oral olanzapine formulation)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 68 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1996:656468 CAPLUS

DN 125:301028

TI Preparation of olanzapine solvates

IN Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Hotten, Terrence Michael; Larsen, Samuel Dean; Tupper, David Edward

PA Lilly, Eli, and Co., USA; Lilly Industries Ltd.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN. CNT 3

T.T.A.	CIVI		
	PATENT NO.	KIND DATE	APPLICATION NO. DATE
PI	EP 733634	A1 19960925	EP 1996-301999 19960322
	R: AT, BE,	CH, DE, DK, ES, FI	I, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE			
	US 5631250	A 19970520	US 1995-410474 19950324
	US 5703232	A 19971230	US 1996-586431 19960116

```
WO 9630374
                                19961003
                                                WO 1996-US3854
                                                                    19960322
              AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
          W:
               SG, SI
          RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
              NE, SN, TD, TG
     AU 9652578
                          A1
                                19961016
                                                AU 1996-52578
                                                                    19960322
     AU 9654279
                          A1
                                19961016
                                                AU 1996-54279
                                                                    19960322
     AU 706471
                          B2
                                19990617
                                                GB 1997-19819
     GB 2313835
                         A1
                               19971210
                                                                    19960322
     GB 2313835
                          B2
                               19980916
                                                DE 1996-19681286 19960322
                          Т
     DE 19681286
                               19980402
     BR 9607790
                         Α
                               19980707
                                                BR 1996-7790
                                                                    19960322
     JP 11502535
                         T2
                               19990302
                                                JP 1996-529532
                                                                    19960322
     AT 9609021
                         Α
                               20000115
                                                AT 1996-9021
                                                                    19960322
     SE 9703205
                         Α
                               19970905
                                                SE 1997-3205
                                                                    19970905
     FI 9703750
                         Α
                               19970922
                                                FI 1997-3750
                                                                    19970922
                                                                    19970922
     NO 9704365
                         Α
                               19970922
                                                NO 1997-4365
     DK 9701089
                         Α
                               19971112
                                                DK 1997-1089
                                                                    19970923
PRAI US 1995-409566
                        19950324
     US 1995-410474
                        19950324
     WO 1996-US3854
                        19960322
     WO 1996-US3917
                        19960322
AB
     The invention provides MeOH, EtOH, and PrOH solvates of clanzapine with
     improved properties characterized by x-ray spectra.
IT
     132539-06-1P, Olanzapine
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation)
         (prepn. of olanzapine solvates)
RN
     132539-06-1 CAPLUS
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

- L21 ANSWER 69 OF 73 CAPLUS COPYRIGHT 2000 ACS
- AN 1996:644040 CAPLUS
- DN 125:275918
- TI Preparation of crystalline olanzapine
- IN Bunnell, Charles Arthur; Hendriksen, Barry Arnold; Larsen, Samuel Dean
- PA Lilly, Eli, and Co., USA; Lilly Industries Ltd.
- SO Eur. Pat. Appl., 10 pp.

```
CODEN: EPXXDW
DT
     Patent
LА
     English
FAN.CNT 3
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                     ____
                          -----
                                         -----
PΙ
     EP 733635
                     A1
                           19960925
                                        EP 1996-302000 19960322
         R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT,
SE
     CA 2214005
                           19961003
                                          CA 1996-2214005 19960322
                      AΑ
     WO 9630375
                           19961003
                                          WO 1996-US3917 19960322
                      A1
         W:
            AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
            ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT,
            LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI
         RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR,
            NE, SN, TD, TG
     AU 9652578
                           19961016
                                          AU 1996-52578
                                                          19960322
                      A1
    AU 9654279
                      A1
                           19961016
                                          AU 1996-54279
                                                          19960322
     AU 706471
                      B2
                           19990617
     GB 2313835
                                          GB 1997-19819
                      Α1
                           19971210
                                                          19960322
     GB 2313835
                      В2
                           19980916
     DE 19681286
                      T
                           19980402
                                          DE 1996-19681286 19960322
     CN 1179160
                      Α
                           19980415
                                          CN 1996-192775
                                                          19960322
    BR 9607790
                      Α
                                          BR 1996-7790
                           19980707
                                                          19960322
     JP 11502535
                                          JP 1996-529532
                      Т2
                           19990302
                                                          19960322
    AT 9609021
                                          AT 1996-9021
                      Α
                           20000115
                                                          19960322
    SE 9703205
                                          SE 1997-3205
                      Α
                           19970905
                                                          19970905
    LV 12018
                     В
                           19980920
                                          LV 1997-163
                                                          19970908
    LT 4349
                     В
                           19980525
                                          LT 1997-148
                                                          19970916
     FI 9703750
                     Α
                           19970922
                                          FI 1997-3750
                                                          19970922
    NO 9704365
                      Α
                           19970922
                                          NO 1997-4365
                                                          19970922
    DK 9701089
                                          DK 1997-1089
                           19971112
                                                          19970923
                      Α
PRAI US 1995-409566
                     19950324
                                   5736541
    US 1995-410474
                     19950324
    WO 1996-US3854
                     19960322
                                    5631250
    WO 1996-US3917
                     19960322
AB
    The invention provides a pharmaceutically elegant stable polymorph of
    olanzapine by pptn. from EtOAc.
IT
    132539-06-1P, Olanzapine
    RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (prepn. of cryst. olanzapine)
RN
     132539-06-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

```
L21 ANSWER 70 OF 73 CAPLUS COPYRIGHT 2000 ACS
```

1995:913754 CAPLUS AN

DN 123:350255

TI Thieno[1,5]benzoidiazepine use

IN Greenwood, Beverley; Nelson, David L. G.

Lilly, Eli, and Co., USA PΑ

SO

U.S., 5 pp. CODEN: USXXAM

DTPatent

LΑ English

FAN.CNT 1

	11.0111 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<b>-</b>		
P	us 5457101	A	19951010	US 1994-253658	19940603
	ZA 9504358	A	19961129	ZA 1995-4358	19950529
	IL 113912	A1	19990411	IL 1995-113912	19950529
	NO 9502130	A	19951204	NO 1995-2130	19950530
	CA 2150517	AA	19951204	CA 1995-2150517	19950530
	AU 9520424	A1	19951214	AU 1995-20424	19950531
	AU 684924	B2	19980108		
	HU 71598	<b>A</b> 2	19960129	HU 1995-1600	19950601
	CN 1119101	A	19960327	CN 1995-106142	19950601
	EP 685233	A2	19951206	EP 1995-303788	19950602
	EP 685233	A3	19960403		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 07330608 A2 19951219 JP 1995-136571 19950602

PRAI US 1994-253658 19940603

The compd. 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3b][1,5]benzodiazepine (I), or an acid salt thereof, has pharmaceutical properties, and is of particular use in the treatment of certain gastrointestinal disorders. Hard gelatin capsules were formulated contg. I 5.0, silicone 2.9, and starch flowable 292.1 mg.

IT 132539-06-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-

b][1,5]benzodiazepine for treatment of qastrointestinal disorders)

RN 132539-06-1 CAPLUS

10H-Thieno[2,3-b][1,5]benzodiazepine, CN

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

```
L21 ANSWER 71 OF 73 CAPLUS COPYRIGHT 2000 ACS
AN
     1994:465597 CAPLUS
DN
     121:65597
TI
     Sustained-release microsphere containing antipsychotic and process for
     producing the same
IN
     Kino, Shigemi; Osajima, Tomonori; Mizuta, Hiroaki
PA
     Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO
     PCT Int. Appl., 19 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
PΙ
     WO 9410982
                     A1
                           19940526
                                          WO 1993-JP1673 19931115
        W: CA, JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     CA 2148823
                     AA
                           19940526
                                        CA 1993-2148823 19931115
     CA 2148823
                      С
                           19990309
                           19950830
                                          EP 1993-924827
     EP 669128
                      A1
                                                           19931115
                           20000105
     EP 669128
                      в1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,
SE
     AT 188375
                     E
                           20000115
                                          AT 1993-924827
                                                           19931115
                     A
     US 5656299
                           19970812
                                          US 1995-443021
                                                           19950517
     US 5871778
                           19990216
                                          US 1997-812544
                     A
                                                           19970307
PRAI JP 1992-332441 19921117
     WO 1993-JP1673
                     19931115
                     19950517
     US 1995-443021
AΒ
    A sustained-release microsphere produced by enclosing a hydrophobic
     antipsychotic such as bromperidol or haloperidol in a base comprising a
     biocompatible polymer such as polylactic acid or a lactic acid/glycolic
     acid copolymer. It can exhibit a desired pharmacol. effect, where a
```

injection

just like the case of suspending injection, and can dispense with the withdrawal of the microsphere. Furthermore, the microsphere can be administered with little aversion and pain.

operations such as implantation, facilitates hypodermic and i.m.

long-term administration is necessary, by injecting once every 1 to 8 wk instead of every day. As a result, a remarkable improvement can be

expected in the compliance during maintenance therapy. In addn., the use of the biocompatible polymer serves to entirely dispense with surgical

IT 132539-06-1P, Olanzapine

09/163,769 Page 104

L21 ANSWER 72 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1994:435640 CAPLUS

DN 121:35640

TI Pharmaceutical compounds

IN Fairhurst, John; Hotten, Terrence M.; Tupper, David E.

PA Lilly Industries Ltd., UK

SO Can. Pat. Appl., 15 pp.

CODEN: CPXXEB

DT Patent

LA English FAN.CNT 1

PI CA 2097016 AA 19931130 CA 1993-2097016 19930526 NO 9301917 A 19931130 NO 1993-1917 19930526 AU 9339807 A1 19931202 AU 1993-39807 19930526 AU 668159 B2 19960426 EP 582368 A1 19940209 EP 1993-304102 19930526 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
NO 9301917 A 19931130 NO 1993-1917 19930526 AU 9339807 A1 19931202 AU 1993-39807 19930526 AU 668159 B2 19960426 EP 582368 A1 19940209 EP 1993-304102 19930526 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
AU 9339807 A1 19931202 AU 1993-39807 19930526 AU 668159 B2 19960426 EP 582368 A1 19940209 EP 1993-304102 19930526 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
AU 668159 B2 19960426 EP 582368 A1 19940209 EP 1993-304102 19930526 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
EP 582368 A1 19940209 EP 1993-304102 19930526 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
JP 06087862 A2 19940329 JP 1993-126429 19930528 CN 1085903 A 19940427 CN 1993-108212 19930528
CN 1085903 A 19940427 CN 1993-108212 19930528
- 4000000
CN 1043994 B 19990707
HU 66180 A2 19940928 HU 1993-1579 19930528
IL 105827 A1 19970218 IL 1993-105827 19930528
CZ 282783 B6 19971015 CZ 1993-1024 19930528
US 6034078 A 20000307 US 1997-886847 19970701

PRAI GB 1992-11379 19920529 GB 1993-9025 19930430 US 1993-68007 19930527 US 1994-335431 19941107

OS MARPAT 121:35640

GΙ

$$N = C$$
 $N = C$ 
 $N =$ 

Thienobenzodiazepine pharmaceutical compds. of the formula thienobenzodiazepine I wherein R1 is hydrogen or halo, and R2 is C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, C3-6 cycloalkyl optionally substituted by 1 to 3 C1-4 alkyl groups, C3-6 cycloalkyl-C1-4 alkyl in which the cycloalkyl group is optionally substituted by 1 to 3 C1-4 alkyl groups, or optionally substituted phenyl-C1-4 alkyl; or a salt thereof

are

prepd. as wide range treatment of central nervous system disorders.

IT 132539-06-1

RL: RCT (Reactant)

(alkylation of, in the prepn. of drug of wide range treatment of central nervous system disorders)

RN 132539-06-1 CAPLUS

CN 10H-Thieno[2,3-b][1,5]benzodiazepine,

Ι

2-methyl-4-(4-methyl-1-piperazinyl)-

(9CI) (CA INDEX NAME)

L21 ANSWER 73 OF 73 CAPLUS COPYRIGHT 2000 ACS

AN 1992:83703 CAPLUS

DN 116:83703

TI Preparation of 2-methyl-4-(4-methyl-1-piperazinyl)-10H-thieno-[2,3-b][1,5]benzodiazepine

IN Chakrabarti, Jiban Kumar; Hotten, Terrence Michael; Tupper, David Edward

PA Lilly Industries Ltd., UK

SO Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 6

```
KIND DATE
     PATENT NO.
                                         APPLICATION NO. DATE
     -----
ΡI
     EP 454436 A1
                           19911030
                                         EP 1991-303679 19910424
     EP 454436
                     В1
                           19950913
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
                                                        19910422
     AU 9175186 A1
                           19911107
                                        AU 1991-75186
     AU 643267
                      B2
                           19931111
     IL 97912
                    A1 19951031
                                         IL 1991-97912
                                                          19910422
     IL 112575
                    A1 19990817
                                         IL 1991-112575
                                                          19910422
                    A 19911026
AA 19911026
C 19980714
     FI 9101986
                                         FI 1991-1986
                                                          19910424
                                         CA 1991-2041113 19910424
     CA 2041113
     CA 2041113
     NO 9101624
                    A 19911028
                                         NO 1991-1624
                                                          19910424
     NO 178766
                         19960219
                    В
     NO 178766
                          19960529
                    С
     CN 1056693
                    A 19911204
                                         CN 1991-103346
                                                         19910424
     CN 1028429
                          19950517
                    В
    HU 60503
                    A2 19920928
                                         HU 1991-1372
                                                         19910424
    HU 212416
                    B 19960628
     ZA 9103085
                    A
                          19921230
                                         ZA 1991-3085
                                                          19910424

      JP 07089965
      A2
      19950404

      JP 2527860
      B2
      19960828

                                         JP 1991-228215
                                                          19910424
    CZ 279937
                    B6 19950913
                                         CZ 1991-1168
                                                          19910424
    ES 2078440
                    T3 19951216
                                         ES 1991-303679
                                                          19910424
    SK 279196
                    B6 19980708
                                         SK 1991-1168
                                                          19910424
                    C1 19950920
    RU 2043992
                                         RU 1992-5052762 19920925
    LV 10262
                    B 19950420
                                         LV 1993-517
                                                          19930608
    FI 9701316
                     A
                          19970327
                                         FI 1997-1316
                                                         19970327
                    19900425
PRAI GB 1990-9229
     IL 1991-97912
                     19910422
     FI 1991-1986
                     19910424
    MARPAT 116:83703
os
AB
    Title compd. (I) useful for treatment of a disorder of the central
nervous
     system (no data) was prepd. 4-Amino-2-methyl-10H-thieno[2,3-
    b][1,5]benzodiazepine-HCl (prepn. given) was refluxed in
    N-methylpiperazine, DMSO and MePh, under N atm. for 20 h to give I.
    Pharmaceutical formulations contg. I are given.
IT
    132539-06-1P
    RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as nervous system agent)
RN
    132539-06-1 CAPLUS
CN
     10H-Thieno[2,3-b][1,5]benzodiazepine,
2-methyl-4-(4-methyl-1-piperazinyl)-
     (9CI) (CA INDEX NAME)
```

L1ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS RN 132539-06-1 REGISTRY 10H-Thieno[2,3-b][1,5]benzodiazepine, 2-methyl-4-(4-methyl-1-piperazinyl)-(9CI) (CA INDEX NAME) OTHER NAMES: CN LY 170053 CN Olanzapine CN Zyprexa 3D CONCORD FS C17 H20 N4 S MF CI SR US Adopted Names Council STN Files: LC ADISINSIGHT, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CANCERLIT, CAPLUS, CBNB, CIN, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IMSDIRECTORY, IPA, MEDLINE, MRCK\*, PHAR, PROMT, RTECS\*, TOXLINE, TOXLIT, USAN, USPATFULL (\*File contains numerically searchable property data)

296 REFERENCES IN FILE CA (1967 TO DATE)
8 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
300 REFERENCES IN FILE CAPLUS (1967 TO DATE)